http://www.cas.org/legal/infopolicy.html

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L1 STRUCTURE UPLOADED

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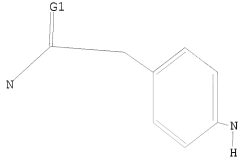
L1 HAS NO ANSWERS
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:46:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 94859 TO ITERATE

100.0% PROCESSED 94859 ITERATIONS 9808 ANSWERS
SEARCH TIME: 00.00.01

L2 9808 SEA SSS FUL L1

L3 1212 L2

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L4 STRUCTURE UPLOADED

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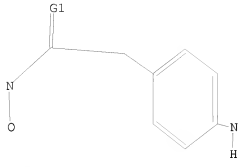


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G1 O,S


Structure attributes must be viewed using STN Express query preparation.


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   REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.



FULL SEARCH INITIATED 11:47:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      915 TO ITERATE

100.0% PROCESSED      915 ITERATIONS                                73 ANSWERS
SEARCH TIME: 00.00.01

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L6           33 L5

=> s l6 and py<2002
      21945173 PY<2002
L7             9 L6 AND PY<2002

=> d 1-9 ibib abs hitstr

L7   ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2001:746609  CAPLUS
DOCUMENT NUMBER:          136:183590
TITLE:                    Design and synthesis of a novel class of histone
                          deacetylase inhibitors
AUTHOR(S):                Lavoie, R.; Bouchain, G.; Frechette, S.; Woo, S. H.;
                          Khalil, E. A.; Leit, S.; Fournel, M.; Yan, P. T.;
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Trachy-Bourget, M.-C.; Beaulieu, C.; Li, Z.; Besterman, J.; Delorme, D.
CORPORATE SOURCE: Department of Medicinal Chemistry, MethylGene Inc., Montreal, QC, H4S 2A1, Can.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(21), 2847-2850
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:183590

AB Histone deacetylase inhibitors (HDACs) have emerged as a novel class of antiproliferative agents. Utilizing structure-based design, the synthesis of a series of 4-arylsulfonylaminophenylpropenohydroxamic acids is described. Further optimization of this series by substitution of the terminal aromatic ring yielded HDAC inhibitors with good in vitro and in vivo activities.

IT 400078-79-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(novel arylsulfonylaminophenylpropenohydroxamic acids as histone deacetylase inhibitors)

RN 400078-79-7 CAPLUS
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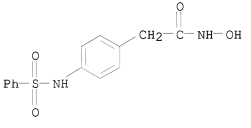


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

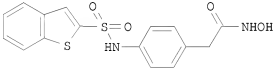
L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:396861 CAPLUS
DOCUMENT NUMBER: 135:5455
TITLE: Preparation of hydroxamic acids as inhibitors of histone deacetylase
INVENTOR(S): Delorme, Daniel; Ruel, Rejean; Lavoie, Rico; Thibault, Carl; Abou-khalil, Elie
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001038322	A1	20010531	WO 2000-IB1881	20001122 <--	
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2391952	A1	20010531	CA 2000-2391952	20001122 <--	
EP 1233958	A1	20020828	EP 2000-981535	20001122	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 6541661	B1	20030401	US 2000-718265	20001122	
JP 2003514904	T	20030422	JP 2001-540085	20001122	
AU 783504	B2	20051103	AU 2001-18768	20001122	
EP 1748046	A2	20070131	EP 2006-11600	20001122	
EP 1748046	A3	20070822			
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI					
MX 2002PA05196	A	20030922	MX 2002-PA5196	20020523	
US 39850	E1	20070918	US 2004-880444	20040629	
AU 2006200456	A1	20060302	AU 2006-200456	20060202	
KR 2007053362	A	20070523	KR 2007-709772	20070427	
PRIORITY APPLN. INFO.:			US 1999-167035P	P 19991123	
			AU 2001-18768	A3 20001122	
			EP 2000-981535	A3 20001122	
			US 2000-718265	E 20001122	
			WO 2000-IB1881	W 20001122	
			KR 2002-706560	A3 20020522	

OTHER SOURCE(S): MARPAT 135:5455

GI



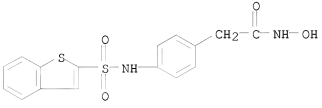
I

AB The title compds. CyL1ArY1CONHZ [Cy = (un)substituted cycloalkyl, aryl, heteroaryl, etc.; L1 = (CH2)mW (wherein m = 0-4; W = CONH, SO2NH, NHCO, NHSO2, NHCONH); Ar = (un)substituted arylene which may be fused to an aryl, heteroaryl, etc.; Y1 = a bond, alkylene; Z = anilinyl, pyridyl, thiadiazolyl, OM (M = H, a pharmaceutically acceptable cation)], useful for inhibiting histone deacetylase enzymic activity, were prepared E.g., a multi-step synthesis of the title compound I which showed IC50 of 7 μM against histone deacetylase in nuclear exts. from H446 cells (pooled HDACs), was given. The invention also provides compns. and methods for treating cell proliferative diseases and conditions.

IT 342372-00-3P 342372-01-4P 342372-02-5P
342372-03-6P 342372-04-7P 342372-05-8P
342372-06-9P 342372-09-2P 342372-10-5P

342372-11-6P 342372-12-7P 342372-13-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acids as inhibitors of histone deacetylase)

RN 342372-00-3 CAPLUS
CN Benzeneacetamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



RN 342372-01-4 CAPLUS
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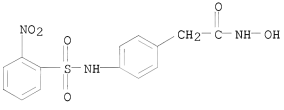

RN 342372-02-5 CAPLUS
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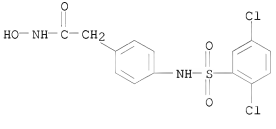

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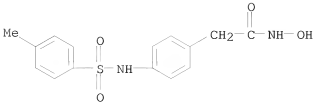

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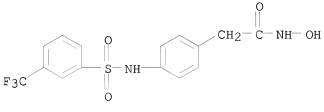

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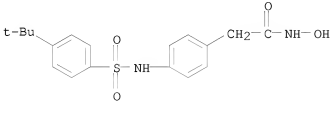

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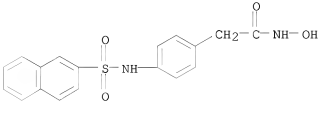

RN 342372-09-2 CAPLUS
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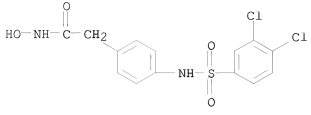

RN 342372-10-5 CAPLUS
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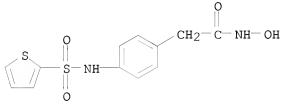

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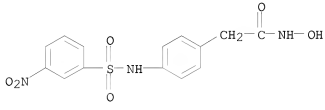

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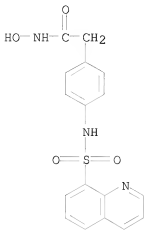
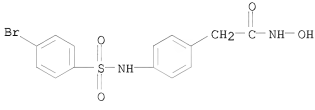


RN 342372-13-8 CAPLUS
CN Benzeneacetamide, 4-[[(4-bromophenyl)sulfonyl]amino]-N-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:209898 CAPLUS
DOCUMENT NUMBER: 132:236799
TITLE: Preparation of nitroethenamine derivatives or salts thereof as active constituent in medical composition
INVENTOR(S): Kato, Fuminori; Miyata, Keizo; Kimura, Hirohiko; Yamamoto, Kazuhiro; Ikegami, Hiroyuki; Takeo, Hiromi
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha Ltd., Japan
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000016766	A1	20000330	WO 1999-JP5148	19990921 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG,

MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2342607	A1	20000330	CA 1999-2342607	19990921	<--
AU 9956543	A	20000410	AU 1999-56543	19990921	<--
EP 1116486	A1	20010718	EP 1999-943445	19990921	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
US 6451792	B1	20020917	US 2001-805781	20010320	
US 20020198184	A1	20021226	US 2002-133752	20020429	
US 6596863	B2	20030722			

PRIORITY APPLN. INFO.:
JP 1998-286074 A 19980922
JP 1998-377076 A 19981228
WO 1999-JP5148 W 19990921
US 2001-805781 A3 20010320

OTHER SOURCE(S): MARPAT 132:236799

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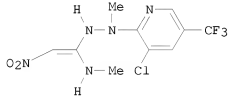

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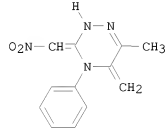


III

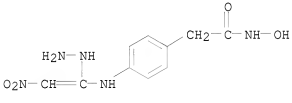
AB Title compds. N2N(R6)C:C(NR4R5)N(R1)NR2R3 [I; wherein R1 is a hydrogen atom, an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl or heterocyclic group, or a cyano group; R2 and R3 may be each a hydrogen atom, an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl or heterocyclic group, or A-R7 (wherein A is S, SO, SO2, SO3, CO or CO2, and R7 is a hydrogen atom, an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl or heterocyclic group), or may form N=CR8R9 (wherein R8 and R9 are each a hydrogen atom, an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl or heterocyclic group, an alkoxy or aryloxy group, a cyano group, a nitro group, or A-R7); R4 and R5 may be each a hydrogen atom, an optionally substituted alkyl, alkenyl, alkynyl,

cycloalkyl, cycloalkenyl, aryl or heterocyclic group, an alkoxy group, an amino group, an aryloxy group, A-R7 , a cyano group, an ester group or a hydroxyl group, or may form N=CR8R9; R6 is a hydrogen atom, a nitro group, a cyano, A-R7, an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl or heterocyclic group, an alkoxy group, an amino group, or a halogen atom; and further R1, R2, R3, R4 and R5 may form a ring containing or not containing a heteroatom] and salts thereof are prepared as
active constituent in medical composition The title compds. II and III were prepared and tested for MMP-9 inhibition activity.

IT 262275-27-4P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitroethenamine derivs. or salts thereof as active constituent in medical composition)

RN 262275-27-4 CAPLUS

CN Benzeneacetamide, 4-[(1-hydrazinyl-2-nitroethenyl)amino]-N-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:663042 CAPLUS

DOCUMENT NUMBER: 132:8716

TITLE: Amide Analogues of Trichostatin A as Inhibitors of Histone Deacetylase and Inducers of Terminal Cell Differentiation

AUTHOR(S): Jung, Manfred; Brosch, Gerald; Koelle, Doris; Scherf, Hans; Gerhaeuser, Clarissa; Loidl, Peter

CORPORATE SOURCE: Institut fuer Pharmazeutische Chemie, Westfaelische Wilhelms-Universitaet Muenster, Muenster, 48149, Germany

SOURCE: Journal of Medicinal Chemistry (1999), 42(22), 4669-4679
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

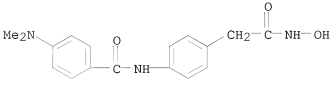
AB Inhibitors of histone deacetylase (HD) bear great potential as new drugs due to their ability to modulate transcription and to induce apoptosis or differentiation in cancer cells. We have described previously analogs of the complex natural HD inhibitors trapoxin B and trichostatin A with activities in the submicromolar range. Here we report structure-activity relationship analyses of further analogs of trichostatin A with respect to in vitro inhibition of maize HD-2 and their ability to induce terminal

cell differentiation in Friend leukemic cells. This is the first report that shows the correlation between HD inhibitory activity and action on cancer cells on a larger series of similar compds. Only the compds. that inhibit HD induce differentiation and/or exert antiproliferative activities in cell culture. Our studies support the use of in vitro systems as screening tools and provide structure-activity relationships that merit further investigation of this interesting target.

IT 251456-67-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of trichostatin A analogs, histone deacetylase inhibition and induction of terminal cell differentiation in leukemia cells)

RN 251456-67-4 CAPLUS

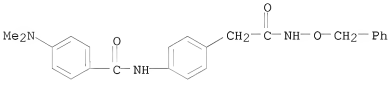
CN Benzeneacetamide, 4-[[4-(dimethylamino)benzoyl]amino]-N-hydroxy- (CA INDEX NAME)



IT 251456-87-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of trichostatin A analogs, histone deacetylase inhibition and induction of terminal cell differentiation in leukemia cells)

RN 251456-87-8 CAPLUS

CN Benzeneacetamide, 4-[[4-(dimethylamino)benzoyl]amino]-N-(phenylmethoxy)- (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:191353 CAPLUS

DOCUMENT NUMBER: 118:191353

ORIGINAL REFERENCE NO.: 118:32853a,32856a

TITLE: Preparation of phenylalkanohydroxamic acid derivatives as protease and urease inhibitors and antiulcer agents

INVENTOR(S): Takahashi, Wataru; Otsubo, Kazumasa

PATENT ASSIGNEE(S): Asahi Chemical Industry Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04217950	A	19920807	JP 1991-82859	19910325 <--
PRIORITY APPLN. INFO.:			JP 1990-77056	A1 19900328

OTHER SOURCE(S): MARPAT 118:191353
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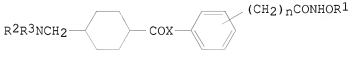

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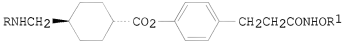


II

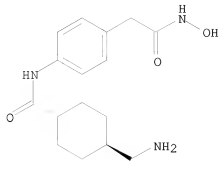
AB The title compds. (I; R1 = H, C1-5 alkyl, aryl, aralkyl; R2, R3 = H, C1-5 alkyl, guanyl, (un)substituted aryl or aralkyl; n = 0-5; X = O, S, NH) are prepared Thus, 50 mL SOCl2 was added to 35.2 g trans-4-N-benzyloxycarboxamidomethylcyclohexanecarboxylic acid, refluxed for 1 h, and distilled to give a crystalline acid chloride. This was dissolved in benzene, thereto a solution of 29.8 g 4-[(2-benzyloxyaminocarbonyl)ethyl]phenol in THF was added dropwise at 0° over 6 h, and the mixture was stirred for addnl. 30 min to give 52.0% hydroxamic acid derivative (II; R = PhCH2O2C, R1 = CH2Ph) which was hydrogenolyzed over Pd-C in AcOH to give II (R = R1 = H).HCl (III). III in vitro showed IC50 of 0.005, 0.169, 0.085, and 0.0013 mM for inhibiting plasmin, kallikrein, trypsin, and urease, resp. A total of 24 I were prepared and at 100 mg/kg p.o. in vivo inhibited 59.7-97.8% ethanolic HCl-induced stomach ulcer in rats vs. 71.5% for cetraxate-HCl . A tablet formulation comprising III is given.

IT 146474-67-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn of, as protease inhibitor and antiulcer agent)

RN 146474-67-1 CAPLUS

CN Benzeneacetamide, 4-[[[4-(aminomethyl)cyclohexyl]carbonyl]amino]-N-hydroxy-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:58774 CAPLUS
DOCUMENT NUMBER: 116:58774
ORIGINAL REFERENCE NO.: 116:10161a,10164a
TITLE: Preparation of substituted alkylureas and analogs as lipoxygenase-inhibiting compounds derived from non-steroidal antiinflammatory carboxylic acids
INVENTOR(S): Brooks, Dee W.; Summers, James B., Jr.; Dellaria, Joseph F., Jr.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

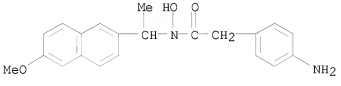
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 452908	A2	19911023	EP 1991-106149	19910417 <--
EP 452908	A3	19920102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5220059	A	19930615	US 1990-511380	19900419 <--
CA 2040608	A1	19911020	CA 1991-2040608	19910416 <--
JP 04224554	A	19920813	JP 1991-88278	19910419 <--

PRIORITY APPLN. INFO.: US 1990-511380 A 19900419
OTHER SOURCE(S): MARPAT 116:58774
AB Title compds. Z(CH2)nN(OH)C:YR1 [I; R1 = H, R2R3N, R2O, R2S; R2, R3 = H, (substituted) C1-8 alkyl, -C2-8 alkenyl, aryl, arylalkyl, cycloalkyl; Y = O, S; n = 0, 1; M = H, cation, metabolically cleavable group; Z = residue derived by removal of the carboxyl group from the nonsteroidal benoxaprofen, ibuprofen, etc.] or a salt thereof, are prepared To ibuprofen in THF under N was added BH3.THF over an h, stirred at room temperature for 0.5 h, cooled to 0°, slowly adding H2O to give the alc. The alc., N,O-di(tert-butoxycarbonyl)hydroxylamine and Ph3P in THF were cooled to -10° under N to give an intermediate oil which was deprotected to give the free hydroxylamine which was treated with Me3SiNCO to give after

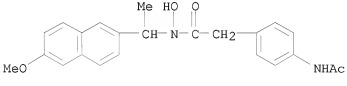
workup I [R1 = NH2, M = H, Y = O, Z = 1-[4-(2-methylpropyl)phenyl]ethyl, n = 1] (II). The in vitro effect against 5-lipoxygenase for II was IC50 0.20 μM. In vivo inhibition of leukotriene biosynthesis was also given by certain I.

IT 138561-19-0P 138561-20-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as lipoxygenase inhibitor)

RN 138561-19-0 CAPLUS
CN Benzeneacetamide, 4-amino-N-hydroxy-N-[1-(6-methoxy-2-naphthalenyl)ethyl]- (CA INDEX NAME)



RN 138561-20-3 CAPLUS
CN Benzeneacetamide, 4-(acetylamino)-N-hydroxy-N-[1-(6-methoxy-2-naphthalenyl)ethyl]- (CA INDEX NAME)



L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1991:61703 CAPLUS
DOCUMENT NUMBER: 114:61703
ORIGINAL REFERENCE NO.: 114:10575a,10578a
TITLE: Preparation of cyclooxygenase- and 5-lipoxygenase-inhibiting [(arylaminoaryl)alkyl]hydroxamates
INVENTOR(S): Sallmann, Alfred
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 377896	A2	19900718	EP 1989-123976	19891227 <--
EP 377896	A3	19901205		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

AU 8947178	A	19900705	AU 1989-47178	19891221	<--
CA 2006728	A1	19900629	CA 1989-2006728	19891227	<--
DK 8906705	A	19900630	DK 1989-6705	19891228	<--
ZA 8909942	A	19900829	ZA 1989-9942	19891228	<--
JP 02275846	A	19901109	JP 1989-338860	19891228	<--

PRIORITY APPLN. INFO.: CH 1988-4843 A 19881229
OTHER SOURCE(S): MARPAT 114:61703
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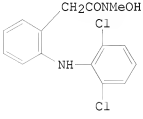


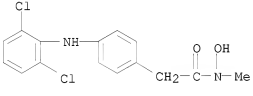
I

AB ArNR1XZCONR2OR3 [Ar = (substituted) aryl; X = (substituted) arylene; Z = aliphatic divalent group; R1 = H, (aryl)aliphatic group; R2 = (aryl)aliphatic group; R3 = H, alkyl, alkanoyl] were prepared as antiinflammatories and allergy inhibitors (no data). Thus, 1,1'-carbonyldiimidazole, MeNHOH.HCl, and (Me2CH)2NEt were added successively to o-[(2,6-dichlorophenyl)amino]phenylacetic acid in THF at room temperature to give title compound I.

IT 131663-85-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cyclooxygenase and 5-lipoxygenase inhibitor)

RN 131663-85-9 CAPLUS

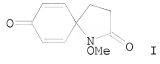
CN Benzeneacetamide, 4-[(2,6-dichlorophenyl)amino]-N-hydroxy-N-methyl- (CA INDEX NAME)



L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1989:514992 CAPLUS
DOCUMENT NUMBER:	111:114992
ORIGINAL REFERENCE NO.:	111:19279a,19282a
TITLE:	Electrophilic aromatic substitution with N-methoxy-N-acylnitrenium ions generated from N-chloro-N-methoxy amides: syntheses of nitrogen heterocyclic compounds bearing a N-methoxy amide group
AUTHOR(S):	Kawase, Masami; Kitamura, Takahiro; Kikugawa, Yasuo
CORPORATE SOURCE:	Fac. Pharm. Sci., Josai Univ., Sakado, 350-02, Japan
SOURCE:	Journal of Organic Chemistry (1989), 54(14),

3394-403
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:114992
GI



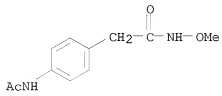
I

AB N-Methoxy-N-acylnitrenium ions, generated by treatment of N-chloro-N-methoxy amides with Ag2CO3 in CF3CO2H, react with arenes to give N-aryl-N-methoxy amides in good yields. In the intramol. cyclization of N-chloro-N-methoxy-2-phenylacetamides, the mode of cyclization is highly dependent on the nature of ortho or para substituent groups. Nitrenium ions can primarily attack 3 positions (C-1, C-2, and C-6) of a Ph ring. Normally they attack C-6. On the other hand, when the ortho position is occupied with a substituent group, they attack both C-2 and C-6, in the former case followed by a 1,2-substituent migration, which was proved by a deuterium labeling experiment Thus, o-ClC6H4CH2CONClOMe gave 71% 4-chloro-1-methoxyoxindole (attack at C-6) and 9% 7-chloro-1-methoxyoxindole (attack at C-2 followed by migration). When an OMe group is substituted on the ortho or para position, attack is at C-1 due to the effect of the electron-releasing OMe group. The products are spiro dienone compds. E.g., p-MeOC6H4CH2CH2NHClOMe gave 83% spiro dienone I. A general discussion of the utility and mechanistic details of these reactions is presented.

IT 121989-27-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination-cyclization of)

RN 121989-27-3 CAPLUS

CN Benzeneacetamide, 4-(acetylamino)-N-methoxy- (CA INDEX NAME)



L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1965:32801 CAPLUS
DOCUMENT NUMBER: 62:32801
ORIGINAL REFERENCE NO.: 62:5822c-d
TITLE: The properties and fungicidal activity of some aryl derivatives of hydroxamic acid

AUTHOR(S): Buraczewski, Krzysztof; Czerwinska, Elzbieta;

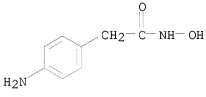
Eckstein, Zygmunt; Grochowski, Edward; Kowalik, Romuald; Plenkiewicz, Jan
CORPORATE SOURCE: Warsaw Polytechnic Mycol. Inst., Warsaw
SOURCE: Przemysl Chemiczny (1964), 43(11), 626-9
CODEN: PRCHAB; ISSN: 0033-2496
DOCUMENT TYPE: Journal
LANGUAGE: Polish

AB Preparation and characterization of 40 derivs. of phenyl-, diphenyl-aceto-, and benzohydroxamic acids is described. Their fungicidal activity was tested against Fusarium culmozum, Alternaria tenuis, and Rhizoctonia solani, by the poisoned food method. Benzohydroxamic acid derivs. showed high biol. activity which was enhanced by Cl substitution in the para position of the benzene nucleus. Replacement of Cl by other halogens lowers the fungicidal activity.

IT 2594-08-3P, Acetohydroxamic acid, 2-(p-aminophenyl)-
RL: PREP (Preparation)
(preparation and fungicidal action of)

RN 2594-08-3 CAPLUS

CN Acetohydroxamic acid, 2-(p-aminophenyl)- (7CI, 8CI) (CA INDEX NAME)



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CA SUBSCRIBER PRICE                                    -7.20      -7.20

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jul 28, 2008 (20080728/UP).

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FULL ESTIMATED COST                                     0.78     411.28

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CA SUBSCRIBER PRICE                                     0.00      -7.20
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FILE COVERS 1907 - 1 Aug 2008 VOL 149 ISS 5
FILE LAST UPDATED: 30 Jul 2008 (20080730/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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http://www.cas.org/legal/infopolicy.html

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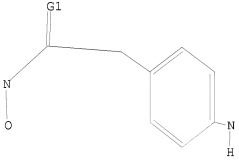

G1 O,S

Structure attributes must be viewed using STN Express query preparation.

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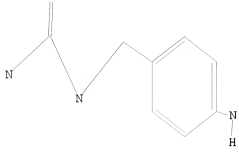

G1 O,S

Structure attributes must be viewed using STN Express query preparation.

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Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:58:19 FILE 'REGISTRY'
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SEARCH TIME: 00.00.01

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Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

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L13  ANSWER 1 OF 19  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2008:674466  CAPLUS
DOCUMENT NUMBER:          149:32294
TITLE:                    Preparation of acylaminothiazole derivatives as
                          vascular adhesion protein 1 (VAP-1) inhibitors
INVENTOR(S):              Matsukawa, Tatsuya; Masuzaki, Kazuhiro; Yamamoto,
                          Noriyuki; Takewaki, Makoto; Tanaka, Hiroyuki; Kawai,
                          Yosuke; Yamamoto, Sumiyo
PATENT ASSIGNEE(S):       R-Tech Ueno, Ltd., Japan
SOURCE:                   PCT Int. Appl., 125pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2008066145        A1    20080605    WO 2007-JP73137        20071130
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
             GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
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             BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:                        JP 2006-325061      A  20061130
OTHER SOURCE(S):          MARPAT 149:32294
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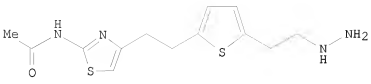


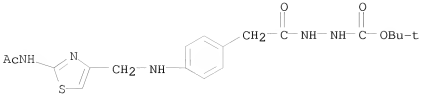
II

AB The title compds. represented by the formula R1-NH-X-Y-Z [R1 = acyl; X = divalent group derived from (un)substituted thiazole; Y = J-L-M; J = a bond, lower alkylene, lower alkenylene, lower alkynylene, (CH2)nO, (CH2)nNH, (CH2)nCO, (CH2)nSO2; n = an integer of 0-6; L = a bond, O, NH, CO, SO2; M = a bond, lower alkylene, lower alkenylene, lower alkynylene; Z = A-B-D-E; A = a divalent group derived from benzene or thiophene; B = NR2-CO, (CH2)n, (CH2)nCO; R2 = H, lower alkyl, acyl; n = an integer of 0-6; D = NR3; R3 = H, lower alkyl, alkoxycarbonyl, acyl; E = (un)substituted NH2] or pharmacol. acceptable salts thereof were prepared These compds. are useful as VAP-1 inhibitors and pharmaceutical agents for the prevention or treatment of a VAP-1-related disease such as macular edema, cystoid macular edema, and a disease associated with the increase in vascular permeability. Thus, N-[4-[2-[5-(2-hydroxyethyl)thiophen-2-yl]ethyl]thiazol-2-yl]acetamide was condensed with tert-Bu (1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)carbamate using Ph3P and di-Et azodicarboxylate in toluene/THF while slowly raising the temperature from 0° to room temperature for 15 h to give tert-Bu [2-[5-[2-[2-(acetylamino)-1,3-thiazol-4-yl]ethyl]thiophen-2-yl]ethyl](1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)carbamate which was treated with methylhydrazine in THF while slowly raising temperature from -20 to room temperature for 7 h to give tert-Bu N-[2-[5-[2-[2-(acetylamino)-1,3-thiazol-4-yl]ethyl]thiophen-2-yl]ethyl]hydrazinecarboxylate (I). I was treated with HCl in a mixture of CH2Cl2, THF, and Et2O at room temperature for 22 h to give N-(4-[2-[5-(2-hydrazinoethyl)thiophen-2-yl]ethyl]-1,3-thiazol-2-yl)acetamide hydrochloride which was converted into N-[4-[2-[5-(2-hydrazinoethyl)thiophen-2-yl]ethyl]-1,3-thiazol-2-yl]acetamide (II) maleate. II maleate showed IC50 of 0.001 and 0.0002 μM against human and rat VAP-1 enzyme (semicarbazide sensitive amine oxidase, SSAO), resp.

IT 1030893-53-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of acylaminothiazole derivs. as vascular adhesion protein 1 (VAP-1) inhibitors)

RN 1030893-53-8 CAPLUS

CN Benzeneacetic acid, 4-[[[2-(acetylamino)-4-thiazolyl]methyl]amino]-, 2-[(1,1-dimethylethoxy)carbonyl]hydrazide (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1454593 CAPLUS
DOCUMENT NUMBER: 148:70192
TITLE: Therapy using cytokine inhibitors
INVENTOR(S): Crowley, Constance A.; Delaet, Nancy G. J.; Ernst, Justin; Grove, Carrie Gail; Hepburn, Bonnie; King, Bernard; Larson, Christopher J.; Miller, Stephen; Pryor, Kent; Shuster, Lewis J.
PATENT ASSIGNEE(S): Kemia Inc., USA
SOURCE: PCT Int. Appl., 251pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007146712	A2	20071221	WO 2007-US70547	20070606

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

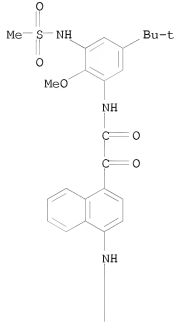
PRIORITY APPLN. INFO.:
US 2006-812268P P 20060609
US 2006-833078P P 20060724
US 2006-835270P P 20060803

OTHER SOURCE(S): MARPAT 148:70192

AB The invention discloses methods for treating, preventing, modifying and managing cytokine-mediated disorders or related disorders, which comprise the administration of a compound, such as a cytokine inhibitor, alone or in combination with known therapeutics. The invention also relates to pharmaceutical compns. and dosing regimens using the disclosed compds. In particular, the invention relates to the use of compds. as disclosed herein, optionally in conjunction with other therapies, for the treatment of autoimmune diseases, inflammatory diseases, cardiovascular diseases, and cancer.

IT 908239-49-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapy using cytokine inhibitors)
RN 908239-49-6 CAPLUS
CN 1-Naphthaleneacetamide, N-[5-(1,1-dimethylethyl)-2-methoxy-3-[(methylsulfonyl)amino]phenyl]-α-oxo-4-(4-pyrimidinylamino)- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

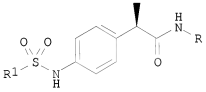
L13 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1360991 CAPLUS
DOCUMENT NUMBER: 147:541591
TITLE: Preparation of (2R)-2-[(4-sulfonyl)aminophenyl]propanamides as inhibitors of CXCL1 induced human PMN chemotaxis.
INVENTOR(S): Allegretti, Marcello; Bertini, Riccardo; Bizzarri, Cinzia; Cesta, Maria Candida; Aramini, Andrea;

Moriconi, Alessio
PATENT ASSIGNEE(S): Dompe' Pha.R.Ma. S.p.A., Italy
SOURCE: PCT Int. Appl., 23pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007135080	A2	20071129	WO 2007-EP54806	20070517
WO 2007135080	A3	20080110		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

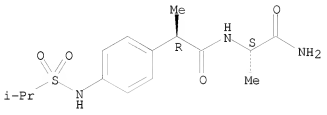
PRIORITY APPLN. INFO.: EP 2006-114185 A 20060518
OTHER SOURCE(S): MARPAT 147:541591
GI



I

AB Title compds. [I; R = H, OH, alkyl, cycloalkyl, alkenyl, alkoxy, Ph, heteroaryl, etc.; RNH = residue of primary amino acid; R1 = alkyl, cycloalkyl, alkenyl, CF3, (substituted) Ph, PhCH2, heteroaryl], were prepared Thus, (R)-2-(4-aminophenyl)propanamide (preparation given) was stirred overnight with 2-propanesulfonyl chloride in pyridine to give 81% (R)-2-[4-[(isopropylsulfonyl)amino]phenyl]propanamide. The latter gave 67% inhibition of CXCL1 at 10-8 M.
IT 957465-80-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of sulfonylaminophenylpropanamides as inhibitors of CXCL1 induced human PMN chemotaxis)
RN 957465-80-4 CAPLUS
CN Benzeneacetamide, N-[(1S)-2-amino-1-methyl-2-oxoethyl]-α-methyl-4-[[(1-methylethyl)sulfonyl]amino]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1212638 CAPLUS
DOCUMENT NUMBER: 147:502356
TITLE: Imidazolecarboxamide compounds as inhibitors of c-Fms kinase and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Illig, Carl R.; Ballentine, Shelley K.; Chen, Jinsheng; Desjarlais, Renee Louise; Meegalla, Sanath K.; Wall, Mark; Wilson, Kenneth
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 151pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070249649	A1	20071025	US 2007-736635	20070418
WO 2007124318	A1	20071101	WO 2007-US66864	20070418

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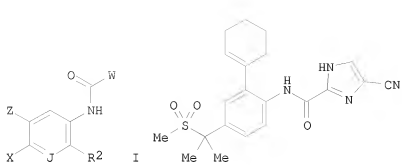
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-793694P P 20060420
US 2006-871171P P 20061221

OTHER SOURCE(S): MARPAT 147:502356
GI



I II

AB The invention is directed to compds. of formula I, as well as solvates, hydrates, tautomers and pharmaceutically acceptable salts thereof, that inhibit protein tyrosine kinases, especially c-Fms kinase. Methods of treating autoimmune diseases; and diseases with an inflammatory component; treating metastasis from ovarian cancer, uterine cancer, breast cancer, colon cancer, stomach cancer, hairy cell leukemia and non-small lung carcinoma; and treating pain, including skeletal pain caused by tumor metastasis or osteoarthritis, or visceral, inflammatory, and neurogenic pain; as well as osteoporosis, Paget's disease, and other diseases in which bone resorption mediates morbidity including arthritis, prosthesis failure, osteolytic sarcoma, myeloma, and tumor metastasis to bone with the compds. of formula I, are also provided. Compds. of formula I wherein W is (un)substituted azoles and (un)substituted furanyl; R2 is cycloalkyl spiro-substituted cycloalkenyl, heterocyclyl, spiro-substituted piperidinyl, etc.; Z is H, F and Me; J is CH and N; Z is (un)substituted C1-6 alkyl, alkenyl, propenylamine, etc.; and their solvates, hydrates, tautomers, and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their c-Fms kinase inhibitory activity. From the assay, it was determined that compound II exhibited an IC50 value of 0.0589 μM.

IT 954423-17-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazolecarboxamide compds. as c-Fms kinase inhibitors useful in treatment and prevention of diseases)

RN 954423-17-7 CAPLUS

CN 1H-Imidazole-2-carboxamide, 5-cyano-N-[4-[2-[[2-(dimethylamino)ethyl]amino]-2-oxoethyl]-2-(4,4-dimethyl-1-cyclohexen-1-yl)phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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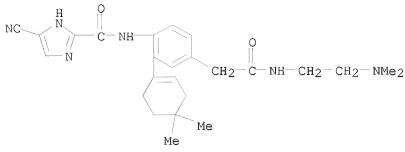
CRN 954423-16-6
CMF C25 H32 N6 O2



CM 2

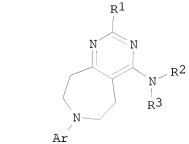
CRN 76-05-1
CMF C2 H F3 O2

L13 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1086586 CAPLUS
DOCUMENT NUMBER: 147:406833
TITLE: Preparation of 6,7,8,9-tetrahydro-5H-pyrimidoazepines as TRPV1 receptor modulators
INVENTOR(S): Allison, Brett D.; Branstetter, Bryan James; Breitenbucher, James Guy; Hack, Michael D.; Hawryluk, Natalie A.; Lebsack, Alec D.; Mcclure, Kelly J.; Merit, Jeffrey E.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 364pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

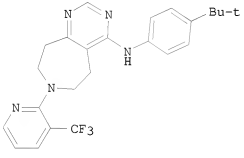
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007109355	A2	20070927	WO 2007-US7166	20070321
WO 2007109355	A3	20071115		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
US 20070225275 A1 20070927 US 2007-726756 20070321
PRIORITY APPLN. INFO.: US 2006-785415P P 20060321
OTHER SOURCE(S): MARPAT 147:406833
GI



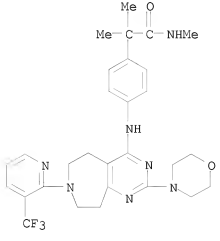
I



II

AB Title compds. I [R1 = H, NH2 and derivs., (un)substituted alkoxy, phenoxy, phenylsulfanyl, alkylsulfonyl, etc.; R2 = H, alkyl; R3 = (un)substituted Ph, benzyl, indanyl, thiazolyl, benzothiadiazolyl, pyridinyl, etc.; Ar = (un)substituted Ph, pyridinyl, imidazolyl, pyrimidinyl, fused bicyclic heteroaryl; and their pharmaceutically acceptable salts, prodrugs and pharmaceutically active metabolites] were prepared as transient receptor potential type 1 (TRPV1) modulators. Thus, ring expansion of 1-(tert-butoxycarbonyl)-4-piperidone with Et diazoacetate, cyclocondensation with formamidine acetate/treatment with NaOH (no data for the ester intermediate), cleavage of the tert-butoxycarbonyl group, N-alkylation of pyrimidoazepinol with 2-fluoro-3-trifluoromethylpyridine to the hydrochloride, conversion to the free base, chlorination of the hydroxy compound, and amination of the chloride with 4-(tert-butyl)aniline gave II. II blocked capsaicin-induced Ca2+ influx in HEK293 cells transfected with human TRPV1 (IC50 = 0.029 μM) and rat TRPV1 (IC50 = 0.09 μM). I, and their pharmaceutical compns. are useful for treating disease states, disorders, and conditions mediated by TRPV1 such as pain, itch, cough, asthma, or inflammatory bowel disease.

IT 951146-03-5P, N-Methyl-2-[4-[[2-(morpholin-4-yl)-7-(3-trifluoromethylpyridin-2-yl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepin-4-yl]amino]phenyl]isobutyramide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tetrahydropyrimidoazepines as TRPV1 receptor modulators)
RN 951146-03-5 CAPLUS
CN Benzeneacetamide, N,α,α-trimethyl-4-[[6,7,8,9-tetrahydro-2-(4-morpholinyl)-7-[3-(trifluoromethyl)-2-pyridinyl]-5H-pyrimido[4,5-d]azepin-4-yl]amino]- (CA INDEX NAME)



L13 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:563479 CAPLUS
DOCUMENT NUMBER: 147:2010
TITLE: Cytokine inhibitors for the treatment of autoimmune diseases, and use with other agents
INVENTOR(S): Delaet, Nancy; Larson, Christopher; Pryor, Kent; Hepburn, Bonnie; Allgren, Robin; King, Bernard D.
PATENT ASSIGNEE(S): Kemia, Inc., USA
SOURCE: PCT Int. Appl., 141pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007058990	A2	20070524	WO 2006-US43896	20061113
WO 2007058990	A3	20071206		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,

MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

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PRIORITY APPLN. INFO.: US 2005-736621P P 20051114
US 2006-785943P P 20060324

OTHER SOURCE(S): MARPAT 147:2010

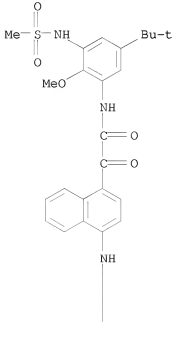
AB The invention discloses methods for treating autoimmune diseases, which comprise the administration of a cytokine inhibitor alone or in combination with known therapeutics or treatments. The invention also discloses pharmaceutical compns. and dosing regimens. In particular, the invention discloses the use of cytokine inhibitors, optionally in conjunction with other therapies, for the treatment of autoimmune diseases, more particularly pemphigus.

IT 908239-49-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cytokine inhibitors for treatment of autoimmune diseases, and use with other agents)

RN 908239-49-6 CAPLUS

CN 1-Naphthaleneacetamide, N-[5-(1,1-dimethylethyl)-2-methoxy-3-[(methylsulfonyl)amino]phenyl]-α-oxo-4-(4-pyrimidinylamino)- (CA INDEX NAME)

PAGE 1-A



L13 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:463236 CAPLUS
DOCUMENT NUMBER: 146:461940
TITLE: Preparation of 4-[(methylsulfonyl)amino]benzeneacetamides and related compounds as vanilloid receptor 1 inhibitors
INVENTOR(S): Lee, Jeewoo; Ryu, Hyung Chul; Frank, Robert; Bahrenberg, Gregor; De Vry, Jean; Christoph, Thomas; Saunders, Derek John; Schiene, Klaus; Sundermann, Bernd
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 628pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007045462	A2	20070426	WO 2006-EP10057	20061019
WO 2007045462	A3	20070621		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
DE 102005050408	A1	20070426	DE 2005-102005050408	20051019
AU 2006303437	A1	20070426	AU 2006-303437	20061019
CA 2625189	A1	20070426	CA 2006-2625189	20061019
US 20070105861	A1	20070510	US 2006-551060	20061019
EP 1940821	A2	20080709	EP 2006-806372	20061019
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PRIORITY APPLN. INFO.:
DE 2005-102005050408A 20051019
US 2005-727859P P 20051019
DE 2005-102005055486A 20051118

WO 2006-EP10057 W 20061019

OTHER SOURCE(S): MARPAT 146:461940
GI

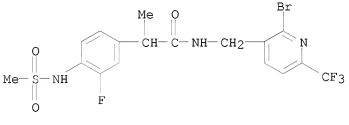
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Z = (CH2)n; n = 0-4; X = O, S, N-CN; Y = NH2, NHR30, NR30R31; R1, R2, R3, R4 = H, halo, NO2, etc.; R5 = H, halo, NO2, etc.; T = CR6 and U = CR7 and V = N and W =CR8, etc.; R6, R7 = H, halo, NO2, etc.; R8 = H, halo, NO2, etc.; R25, R26 = H, alkyl, aryl, etc.; R30, R31, R32 = alkyl with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of amine II and acid III afforded claimed aminobenzeneacetamide IV in 88% yield. In human vanilloid receptor 1 assays, 27-examples of compds. I exhibited Ki values ranging from 0.3-387 nM.

IT 935513-63-6P 935514-60-6P 935514-79-7P
935515-07-4P 935515-73-4P 935516-04-4P
935516-75-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-[(methylsulfonyl)amino]benzeneacetamides and related compds. as vanilloid receptor 1 inhibitors)

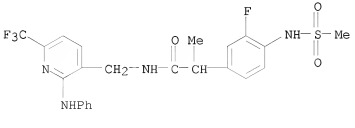
RN 935513-63-6 CAPLUS

CN Benzeneacetamide, N-[[2-bromo-6-(trifluoromethyl)-3-pyridinyl]methyl]-3-fluoro-α-methyl-4-[(methylsulfonyl)amino]- (CA INDEX NAME)

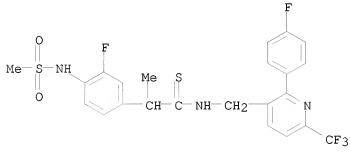


RN 935514-60-6 CAPLUS

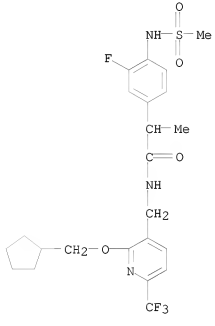
CN Benzeneacetamide, 3-fluoro-α-methyl-4-[(methylsulfonyl)amino]-N-[[2-(phenylamino)-6-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)



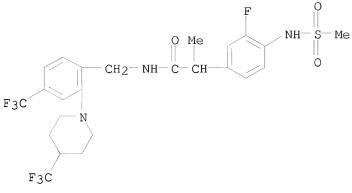
RN 935514-79-7 CAPLUS
CN Benzeneethanethioamide, 3-fluoro-N-[[2-(4-fluorophenyl)-6-(trifluoromethyl)-3-pyridinyl]methyl]-α-methyl-4-[(methylsulfonyl)amino]- (CA INDEX NAME)



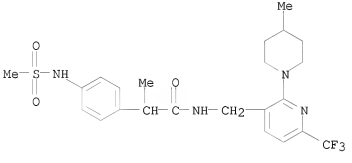
RN 935515-07-4 CAPLUS
CN Benzeneacetamide, N-[[2-(cyclopentylmethoxy)-6-(trifluoromethyl)-3-pyridinyl]methyl]-3-fluoro-α-methyl-4-[(methylsulfonyl)amino]- (CA INDEX NAME)



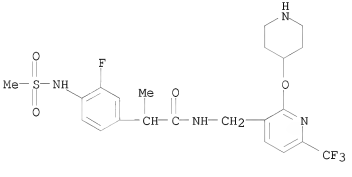
RN 935515-73-4 CAPLUS
CN Benzeneacetamide, 3-fluoro-α-methyl-4-[(methylsulfonyl)amino]-N-[[4-(trifluoromethyl)-2-[4-(trifluoromethyl)-1-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



RN 935516-04-4 CAPLUS
CN Benzeneacetamide, α-methyl-N-[[2-(4-methyl-1-piperidinyl)-6-(trifluoromethyl)-3-pyridinyl]methyl]-4-[(methylsulfonyl)amino]- (CA INDEX NAME)



RN 935516-75-9 CAPLUS
CN Benzeneacetamide, 3-fluoro-α-methyl-4-[(methylsulfonyl)amino]-N-[[2-(4-piperidinyloxy)-6-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)



L13 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

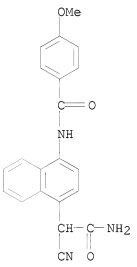
ACCESSION NUMBER: 2007:414456 CAPLUS
DOCUMENT NUMBER: 147:9747
TITLE: A novel synthesis of indole derivatives by the reaction of N-arylhydroxamic acids with malononitrile
AUTHOR(S): Tomioka, Yukihiko; Ohkubo, Kimiko; Maruoka, Hiroshi
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Fukuoka University, 8-19-1 Nanakuma, Jonan-ku, Fukuoka, 814-0180, Japan
SOURCE: Journal of Heterocyclic Chemistry (2007), 44(2), 419-424
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:9747

AB An approach to indole derivs. from N-arylhydroxamic acids and malononitrile via a [3,3]-sigmatropic rearrangement and intramol. cyclization is described. Reactions of N-arylhydroxamic acids with malononitrile in the presence of Et3N at room temperature gave the corresponding α-cyanoacetamide derivs. Subsequent thermal treatment with a base, e.g. Et3N and NaOMe, caused intramol. cyclization and deacylation to afford the corresponding 2-amino-3-indolecarboxamides.

IT 937394-73-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indoles by reaction of N-arylhydroxamates and malononitrile with [3,3]-sigmatropic rearrangement and subsequent cyclization)

RN 937394-73-5 CAPLUS

CN 1-Naphthaleneacetamide, α-cyano-4-[(4-methoxybenzoyl)amino]- (CA INDEX NAME)



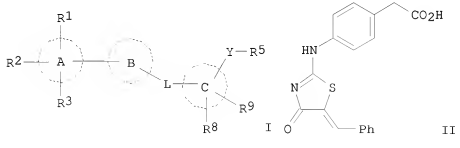
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:330181 CAPLUS
DOCUMENT NUMBER: 146:358833
TITLE: Preparation of thiazolinone and oxazolinone derivatives as PTP-1B inhibitors
INVENTOR(S): Banerjee, Rakesh Kumar; Gupta, Ramesh Chandra; Tuli, Davinder; Rode, Milind; Shuthar, Bharat; Umrani, Dhananjay; Pathak, Padmaja; Choksi, Tejal; Chaudhary, Anita
PATENT ASSIGNEE(S): Torrent Pharmaceuticals Ltd., India
SOURCE: PCT Int. Appl., 110pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007032028	A1	20070322	WO 2006-IN368	20060915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006290250	A1	20070322	AU 2006-290250	20060915
CA 2622518	A1	20070322	CA 2006-2622518	20060915
EP 1934192	A1	20080625	EP 2006-796203	20060915
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
KR 2008056730	A	20080623	KR 2008-709160	20080416
PRIORITY APPLN. INFO.:			IN 2005-KO860 A	20050916
			WO 2006-IN368 W	20060915

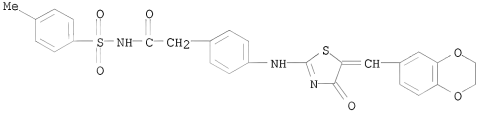
OTHER SOURCE(S): MARPAT 146:358833
GI



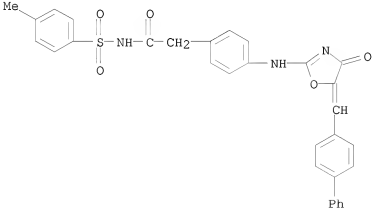
AB The title thiazolinone and oxazolinone derivs. I [wherein ring A = naphthalene, biphenyl, etc.; ring B = (un)substituted (thiazolinone)methylene, (oxazolinone)methylene, etc.; ring C = benzene, naphthalene, etc.; L = NH, NHCH2, etc.; Y = (un)substituted CH2, CH2CH2, or CH2CH2CH2; R1 = H, -CH2CO2H, etc.; R2 and R3 = independently H, -CH2CO2H, etc.; R5 = COCO2H, (un)substituted CO2H, etc.; R8 and R9 = independently H, halo, alkyl, etc.] or pharmaceutically acceptable salts or prodrugs thereof are prepared as protein tyrosine phosphatase (PTP) inhibitors for treating or preventing PTP-1B mediated diseases. For example, the compound II was prepared in a multi-step synthesis. Some of the compds. I showed good inhibitory activities against human PTP-1B.

IT 929702-43-2P 929703-65-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of thiazolinone and oxazolinone derivs. as PTP-1B inhibitors)

RN 929702-43-2 CAPLUS
CN Benzeneacetamide, 4-[[5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]amino]-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



RN 929703-65-1 CAPLUS
CN Benzeneacetamide, 4-[[5-([1,1'-biphenyl]-4-ylmethylene)-4,5-dihydro-4-oxo-2-oxazolyl]amino]-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

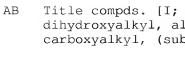


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:248067 CAPLUS
DOCUMENT NUMBER: 146:295626
TITLE: Preparation of 1,3-diaminobenzeneacetamides and hair colorants comprising these compounds
INVENTOR(S): Pasquier, Cecile; Duc-Reichlin, Nadia; Buclin, Veronique; Braun, Hans-Juergen
PATENT ASSIGNEE(S): Wella Aktiengesellschaft, Germany
SOURCE: Eur. Pat. Appl., 23pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1760072	A1	20070307	EP 2005-18738	20050830
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
WO 2007026312	A1	20070308	WO 2006-IB53015	20060830
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20070067923	A1	20070329	US 2006-512829	20060830

PRIORITY APPLN. INFO.: EP 2005-18738 A 20050830
OTHER SOURCE(S): MARPAT 146:295626
GI



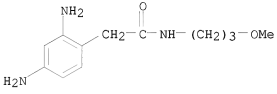
I

AB Title compds. [I; R1, R2 = H, (unsatd.) alkyl, hydroxyalkyl, dihydroxyalkyl, alkoxyalkyl, aminoalkyl, acetylaminoalkyl, cyanoalkyl, carboxyalkyl, (substituted) Ph, PhCH2, pyridylmethyl, furfuryl, pyridyl,

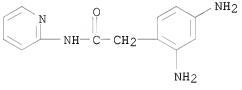
etc.; R1R2N = (substituted) piperidinyl, morpholinyl, piperazinyl, pyrrolidinyl; R3 = H, halo, alkyl, hydroxyalkyl, alkoxy], were prepared Thus, title coupler 2-(2,4-diaminophenyl)-N-propylacetamide (II) was prepared via coupling of [4-[(tert-butoxycarbonyl)amino]-2-nitrophenyl]acetic acid and propylamine followed by deprotection with CF3CO2H and hydrogenation. Coupler II with developer 4,5-diamino-1-(2-hydroxyethyl)pyrazole sulfate and 6% H2O2 imparted a violet color to bleached hair.

IT 928153-48-4P 928154-04-5P
RL: COS (Cosmetic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of diaminobenzeneacetamides and hair colorants
comprising these compds.)

RN 928153-48-4 CAPLUS
CN Benzeneacetamide, 2,4-diamino-N-(3-methoxypropyl)- (CA INDEX NAME)



RN 928154-04-5 CAPLUS
CN Benzeneacetamide, 2,4-diamino-N-2-pyridinyl- (CA INDEX NAME)

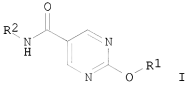


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:220666 CAPLUS
DOCUMENT NUMBER: 146:295939
TITLE: Preparation of pyrimidine-5-carboxamide derivatives as prostaglandin D synthase inhibitors
INVENTOR(S): Urade, Yoshihiro; Shigeno, Kazuhiko; Tanaka, Yuki; Kuze, Jiro; Tsuchikawa, Michinori; Hosoya, Toshiyuki
PATENT ASSIGNEE(S): Taiho Pharamceutical Co., Ltd., Japan; Osaka Bio Science Research Institute
SOURCE: Jpn. Kokai Tokkyo Koho, 164pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2007051121	A	20070301	JP 2005-290413		20051003
PRIORITY APPLN. INFO.:			JP 2005-213547	A	20050722
OTHER SOURCE(S):	MARPAT 146:295939				

GI



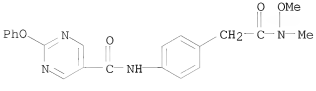
I

AB The title compds. [I; R1 = (un)substituted 5- or 6-membered unsatd. heterocyclyl or Ph; R2 = unsatd. heterocyclyl containing 1-3 heteroatom(s) selected from N, O, and S atoms containing 0-2 number of R3(CH2)m group(s), Ph containing R3(CH2)m group(s) at one or both of 3- and 4-positions; m = 0-4; R3 = halo, cyano, NO2, (un)substituted and (un)saturated heterocyclyl, (un)substituted NH2, COR6, OR7, SR8; R6 = H,HO, (un)substituted C1-6 alkoxy or NH2; R7 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, (un)substituted carbonyl; R8 = H, (un)substituted C1-6 alkyl] or salts thereof are prepared These compds. exhibit high inhibitory effect on hematopoietic prostaglandin D synthase and are useful for the prevention and/or treatment of allergic diseases, inflammatory diseases, Alzheimer's disease, or brain injury. Thus, 2-phenoxypyrimidine-5-carboxylic acid was condensed with 4-aminobenzoic acid tert-Bu ester using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in pyridine at 60° for 16 h to give 47% 2-phenoxy-N-(4-tert-butoxycarbonylphenyl)-5-pyrimidinecarboxamide (II). II and 2-phenoxy-N-[4-[2-[[(thiophen-2-yl)carbonyl]amino]ethyl]phenyl]-5-pyrimidinecarboxamide showed IC50 of 0.260 and 0.141 μg/mL, resp., against human hematopoietic prostaglandin D.

IT 927877-65-4P, 2-Phenoxy-N-[4-[(N-methoxy-N-methylcarbamoyl)methyl]phenyl]-5-pyrimidinecarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine-5-carboxamide derivs. as prostaglandin D synthase inhibitors for prevention and/or treatment of allergy, inflammations, Alzheimer's disease, or brain injury)

RN 927877-65-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[4-[2-(methoxymethylamino)-2-oxoethyl]phenyl]-2-phenoxy- (CA INDEX NAME)

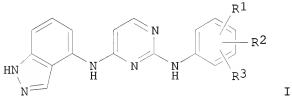


L13 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1279352 CAPLUS
DOCUMENT NUMBER: 146:45531
TITLE: Preparation of anilino indazolylamino pyrimidines as spleen tyrosine kinase inhibitors
INVENTOR(S): Atkinson, Francis Louis; Barker, Michael David; Campos, Sebastien Andre; Parr, Nigel James; Patel, Vipulkumar Kantibhai
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 101pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

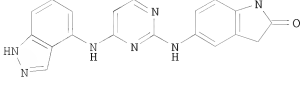
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006129100	A1	20061207	WO 2006-GB2015	20060602

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2005-11391 A 20050603
GB 2006-10513 A 20060526
OTHER SOURCE(S): MARPAT 146:45531
GI



I



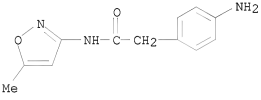
II

AB Title compds. represented by the formula I [wherein R1-R3 = H, halo, amino, etc.; and pharmaceutically acceptable salts or solvates thereof] were prepared as spleen tyrosine kinase (Syk) inhibitors. For example, reaction of N-(2-chloro-4-pyrimidinyl)-1H-indazol-4-amine (preparation given) with 5-aminooxindole gave II formic acid salt. The biol. test methods, receptor assay (time-resolved fluorescence resonance energy transfer kinase assay), whole cell assay (cFms assay) and B cell proliferation assay, were described. Thus, I and their pharmaceutical compns. are useful as inhibitors of spleen tyrosine kinase (Syk) in treating diseases resulting from in appropriate mast cell activation, for instance allergic and inflammatory diseases.

IT 916438-73-8, 2-(4-Aminophenyl)-N-(5-methyl-3-isoxazolyl)acetamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-anilino-4-(indazolylamino)pyrimidines as spleen tyrosine kinase inhibitors)

RN 916438-73-8 CAPLUS

CN Benzeneacetamide, 4-amino-N-(5-methyl-3-isoxazolyl)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1113400 CAPLUS

DOCUMENT NUMBER: 147:301054

TITLE: Synthesis, antitubercular, and antimicrobial activity of some 3-aryl-4-(4'-(2''-,6''-dichlorophenyl)amino]benzyl carboxamido-5-mercapto-1,2,4-triazoles

AUTHOR(S): Pujar, Gurubasavaraj V.; Manohar, K. V.; Udupi, R. H.; Purohit, M. N.; Chandrasekar, M. J. N.

CORPORATE SOURCE: Department of Pharm Chemistry, JSS College of Pharmacy, Mysore, 570 015, India

SOURCE: Indian Journal of Heterocyclic Chemistry (2006), 16(1), 69-70
CODEN: IJCHEI; ISSN: 0971-1627

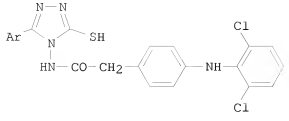
PUBLISHER: Prof. R. S. Varma

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:301054

GI



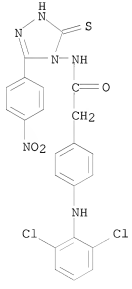
I

AB A series of 3-aryl-4-[4'-(2'',6''-dichlorophenyl)amino]-benzyl carboxamido-5-mercapto-1,2,4-triazoles I (Ar = Ph, 4-ClC6H4, 2-HOC6H4, 4-HOC6H4, 4-NO2C6H4, 3,4-(NO2)2C6H4, PhOCH2, 2-MeC6H4OCH2, 3-MeC6H4OCH2, 4-MeC6H4OCH2, Bn) were synthesized and evaluated for in vitro antitubercular and antimicrobial activity. Title compds. I were synthesized in one-pot reaction by condensing diclofenac hydrazide with substituted aryl and aryloxy potassium dithiocarbazinates. Two of the compds. I (Ar = Ph, 4-HOC6H4) showed significant antitubercular activity at 10μg/mL. Compds. I (Ar = 4-ClC6H4, 3,4-(NO2)2C6H4, PhOCH2, and Bn) showed significant antibacterial activity. However none of the synthesized compds. showed significant antifungal activity.

IT 946855-32-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation, antitubercular, and antibacterial activities of aryl-[(dichlorophenyl)aminophenyl]acetamido-mercapto-1,2,4-triazoles by condensation of diclofenac hydrazide with substituted aryl and aryloxy potassium dithiocarbazinates)

RN 946855-32-9 CAPLUS

CN Benzeneacetamide, 4-[(2,6-dichlorophenyl)amino]-N-[1,5-dihydro-3-(4-nitrophenyl)-5-thioxo-4H-1,2,4-triazol-4-yl]- (CA INDEX NAME)

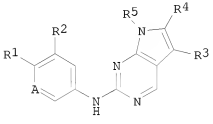


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:941059 CAPLUS
DOCUMENT NUMBER: 145:336066
TITLE: Preparation of pyrrolo[2,3-d]pyrimidine derivatives or their salts as inhibitors for activation of signal transducer and activator of transcription 6 (STAT6)
INVENTOR(S): Nagashima, Shinya; Hondo, Takeshi; Nagata, Hiroshi; Ogiyama, Takashi; Hoshii, Hiroaki; Kontani, Toru; Oga, Keiko; Kuromitsu, Sadao
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 88pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006241089	A	20060914	JP 2005-59945	20050304

PRIORITY APPLN. INFO.: JP 2005-59945 20050304
OTHER SOURCE(S): MARPAT 145:336066
GI



I

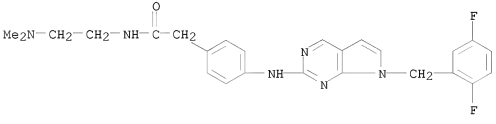
AB The title compds. [I; A = C(R0), N; R1 = H, (un)substituted lower alkyl, cyano, (un)substituted heterocyclyl, -L-R1a; O, NR0, S, SO2, CO CO2, O2C, CONR0, NR0CO, NR0CONR0a, NR0 CO2, O-lower alkylene, NR0-lower alkylene, S-lower alkylene, SO2-lower alkylene, CO-lower alkylene, CO2-lower alkylene, O2C-lower alkylene, CONR0-lower alkylene, NR0CO-lower alkylene; R1a = H, (un)substituted lower alkyl, cycloalkyl, lower alkylene-cycloalkyl, aryl, lower alkylene-aryl, etc.; R2 = H, cyano, lower alkyl, halo-lower alkyl, lower alkylene-OR0, halo, OR0, O-haloalkyl, O-lower alkylene-NR0R0a, O-lower alkylene-CO2R0, CONR0R0a, etc.; R3 = H, lower alkyl, halo, OR0, NR0R0a, lower alkylene-OR0, lower alkylene-NR0R0a, NR0COR0a, aryl, O-aryl, etc.; R4 = H, CO2 R0, COR0R0a; R5 = lower alkyl, aryl, lower alkylene-aryl, lower alkylene-heterocyclyl; wherein R0, R0a = H, lower alkyl] are prepared These compds. selectively inhibit the activation of STAT6, i.e. tyrosine phosphorylation of STAT6, exhibit higher STAT6 activation-inhibitory activity than immune cell

activation-inhibitory activity, and are useful for the prevention and/or treatment of respiratory diseases (asthma or chronic obstructive lung disease) and allergic diseases (rhinitis or dermatitis). Thus, 4-[[7-(2,5-Difluorobenzyl)-7H-pyrrolo[2,3-d]pyrimidin-2-yl]amino]benzoic acid was treated with a solution of 1-methylpiperidin-4-amine in DMF, HOBt, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and stirred at room temperature for 24 h to give 4-[[7-(2,5-difluorobenzyl)-7H-pyrrolo[2,3-d]pyrimidin-2-yl]amino]-N-(1-methylpiperidin-4-yl)benzamide (II). II in vitro inhibited the IL-4 stimulated production of luciferase in STAT6 reporter CI/FW4 cells by 99%.

IT 909558-00-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolo[2,3-d]pyrimidine derivs. as inhibitors for activation of signal transducer and activator of transcription 6 (STAT6) for treatment or prevention of STAT6-related diseases)

RN 909558-00-5 CAPLUS

CN Benzeneacetamide, 4-[[7-[(2,5-difluorophenyl)methyl]-7H-pyrrolo[2,3-d]pyrimidin-2-yl]amino]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)



L13 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:888121 CAPLUS
DOCUMENT NUMBER: 145:292724
TITLE: Aryl ketoamide derivatives as cytokine inhibitors and their preparation, pharmaceutical composition and use in therapy
INVENTOR(S): Boman, Erik; Ceide, Susanna Conde; Dahl, Russell; Ernst, Justin; Kahl, Jeffrey; Montalban, Antonio Garrido; Wang, Zhinjun; Larson, Christopher; Saiah, Eddine
PATENT ASSIGNEE(S): Kemia, Inc., USA
SOURCE: PCT Int. Appl., 315pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006091862	A2	20060831	WO 2006-US6682	20060223
WO 2006091862	A3	20061123		

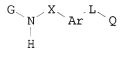
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

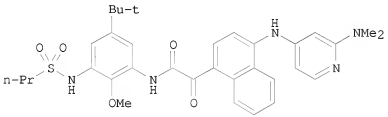
PRIORITY APPLN. INFO.: US 2005-656196P P 20050224
US 2005-665129P P 20050324
US 2005-679294P P 20050509

OTHER SOURCE(S): MARPAT 145:292724

GI



I



II

AB The invention relates to low mol. weight compds. of formula I and compns. thereof, useful as cytokine inhibitors, and their preparation Compds. of formula I wherein G is (un)substituted C3-10 carbocyclyl, (un)substituted 5- to 8-membered heterocyclyl, and (un)substituted 8- to 11-membered bicyclic heterocyclyl; X is CO, CS and CH2; Ar is (un)substituted (mono/bi)cyclic (hetero)aryl, (un)substituted alkyl(hetero)aryl, etc.; L is covalent bond, (un)saturated (un)branched C1-10 (hetero)alkyl; Q is H, NH2 and derivs., (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heterocyclyl, (un)substituted C1-6 alkoxy, etc.; and their stereoisomers, tautomers, solvates, prodrugs, and pharmaceutically acceptable salts thereof are claimed. The invention further relates to methods of prevention and treatment of cytokine-mediated disorders, in particular inflammatory disorders, pain and cancer. The invention also relates to pharmaceutical compns. and dosing regimens. In particular, the invention relates to the use of cytokine inhibitors, optionally in conjunction with other therapies, for cancer, more particularly glioma, glioblastoma, osteosarcoma and bone metastases. Addnl., the invention relates to methods of treating, modifying and managing pain, more particularly neuropathic pain, which comprise the administration of a

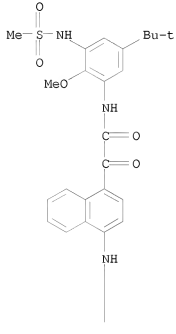
cytokine inhibitor alone or in combination with known therapeutics. Example compound II was prepared by demethylation of [4-(2-dimethylaminopyridin-4-ylamino)naphthalen-1-yl]oxoacetic acid Me ester; the resulting [4-(2-dimethylaminopyridin-4-ylamino)naphthalen-1-yl]oxoacetic acid underwent coupling with N-(3-amino-5-tert-butyl-2-methoxyphenyl) propanesulfonamide to give compound II. All the invention compds. were evaluated for their cytokine inhibitory activity. From the assay, it was determined that compound II and several other example compds. exhibited IC50 values below 10 μM.

IT 908239-49-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aryl ketoamide derivs. as cytokine inhibitors useful as therapeutics)

RN 908239-49-6 CAPLUS

CN 1-Naphthaleneacetamide, N-[5-(1,1-dimethylethyl)-2-methoxy-3-[(methylsulfonyl)amino]phenyl]-α-oxo-4-(4-pyrimidinylamino)- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

L13 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:190681 CAPLUS
DOCUMENT NUMBER: 144:280047
TITLE: Synthesis of o-aminophenol derivatives for use as hair dyes
INVENTOR(S): Pasquier, Cecile; Duc-Reichlin, Nadia; Braun, Hans-Juergen
PATENT ASSIGNEE(S): Wella Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006021256	A1	20060302	WO 2005-EP6845	20050624
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102004041137	A1	20060302	DE 2004-102004041137	20040825
AU 2005276740	A1	20060302	AU 2005-276740	20050624
CA 2578115	A1	20060302	CA 2005-2578115	20050624
EP 1781597	A1	20070509	EP 2005-761656	20050624
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101044112	A	20070926	CN 2005-80028255	20050624
JP 2008510738	T	20080410	JP 2007-528629	20050624
BR 2005014585	A	20080617	BR 2005-14585	20050624
US 20070099959	A1	20070503	US 2006-524149	20060920
IN 2007DN02322	A	20070803	IN 2007-DN2322	20070326
PRIORITY APPLN. INFO.:			DE 2004-102004041137A	20040825
			WO 2005-EP6845 W	20050624

OTHER SOURCE(S): MARPAT 144:280047
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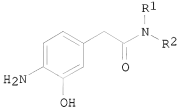


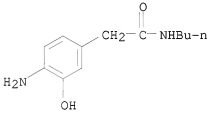
I

AB The invention relates to novel o-aminophenol derivs. of formula (I) or to their physiol. compatible water-soluble salts, and to an agent for dying keratin fibers, particularly hair, which contains at least one o-aminophenol derivative of formula (I). Oxidative hair dyes and other direct dyes can be added. Thus 1-[(4-Amino-3-hydroxyphenyl)acetyl]pyrrolidin-phosphate was synthesized in a multistep reaction starting with 3-Hydroxy-4-nitrobenzaldehyde and dimethylacetamide. The dye was included as a 0.30 g ingredient in a composition that further contained (g): lauryl ether sulfate 10.000; ammonia (22% aqueous solution) 9.000; ethanol 7.800; ascorbic acid 0.300; EDTA disodium hydrate 0.300; water to 100.000.

IT 877592-45-5
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(synthesis of o-aminophenol derivs. for use as hair dyes)

RN 877592-45-5 CAPLUS

CN Benzeneacetamide, 4-amino-N-butyl-3-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:79486 CAPLUS

DOCUMENT NUMBER: 144:150651

TITLE: Peptide library-based α4β1 integrin ligands for imaging and therapy

INVENTOR(S): Lam, Kit S.; Liu, Ruiwu; Peng, Li

PATENT ASSIGNEE(S): The Regents of the University of California, USA

SOURCE: U.S. Pat. Appl. Publ., 92 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 20060019900	A1	20060126	US 2005-140548	20050526
WO 2005122379	A2	20051222	WO 2005-US18730	20050526
WO 2005122379	A3	20070208		

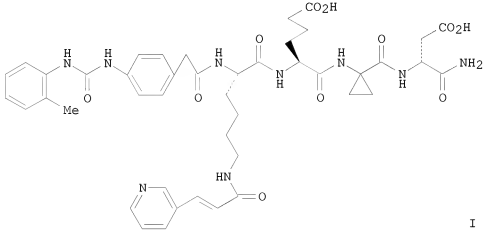
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-575586P P 20040527

OTHER SOURCE(S): CASREACT 144:150651; MARPAT 144:150651

GI



I

AB The invention provides α4β1 integrin ligands o-R1C6H4NHCONH-p-C6H4CHR2CO-X (R1 is H, alkyl, alkoxy, haloalkyl or halo; R2 is H, alkyl or cycloalkyl group; X is a peptide having n independently selected amino acids, at least one of which is an unnatural amino acid or a D-amino acid; n is 3-20) that display high binding affinity, specificity, and stability. Methods are provided for administering the ligands for treating cancer, inflammatory and autoimmune diseases and for imaging a tumor, organ, or tissue in a subject. Examples describe the synthesis of combinatorial peptidomimetics libraries and of ligand I and its conjugates with biotin and DOTA. An in vitro binding assay shows specific targeting of ligand I to the α4β1 integrin receptor.

IT 874148-57-9P

RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

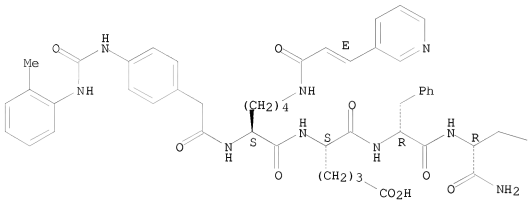
(Preparation); USES (Uses)
(peptide library-based α4β1 integrin ligands for imaging and therapy)

RN 874148-57-9 CAPLUS

CN D-Alaninamide, N2-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-L-lysyl-5-carboxy-L-norvalyl-D-phenylalanyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

L13 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:66741 CAPLUS
DOCUMENT NUMBER: 145:161844
TITLE: Activity-based fingerprinting of proteases
AUTHOR(S): Srinivasan, Rajavel; Huang, Xuan; Ng, Su Ling; Yao, Shao Q.

CORPORATE SOURCE: Department of Chemistry, National University of Singapore, Singapore, 117543, Singapore
SOURCE: ChemBioChem (2006), 7(1), 32-36
CODEN: CBCHFX; ISSN: 1439-4227
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new class of activity-based profiling (ABP) probes that target all major classes of proteases by their properties as enzyme substrates, rather than as inhibitors, was investigated. Sixteen ABP probes were synthesized and used in activity-based fingerprinting of proteases in gel-based expts. Each probe contains a common p-aminomandelic acid moiety and a unique recognition head consisting of an N-acetylated amino acid that mimics the P1 position in a protease substrate. These probes are useful for generating unique substrate fingerprint profiles of proteases, and their suitability for all different classes of proteases is a key advantage over other existing ABP probes. Preliminary results suggest that they might also be equally applicable for microarray-based enzyme-profiling expts.

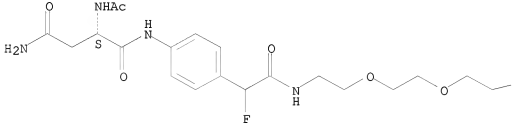
IT 901439-42-7P 901439-57-4P
RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of probes for activity-based fingerprinting of proteases in gel-based expts. and their application in microarray-based enzyme assays)

RN 901439-42-7 CAPLUS

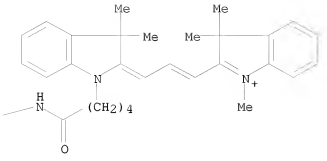
CN 3H-Indolium, 2-[3-[1-[17-[4-[[(2S)-2-(acetylamino)-4-amino-1,4-dioxobutyl]amino]phenyl]-17-fluoro-5,16-dioxo-9,12-dioxa-6,15-diazaheptadec-1-yl]-1,3-dihydro-3,3-dimethyl-2H-indol-2-ylidene]-1-propen-1-yl]-1,3,3-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



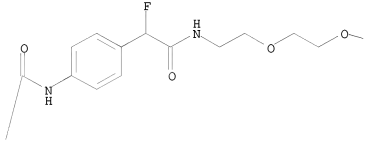
PAGE 1-B



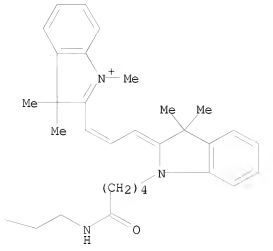
RN 901439-57-4 CAPLUS
CN 3H-Indolium, 2-[3-[1-[17-[4-[[(2S)-2-(acetylamino)-3-(1H-indol-3-yl)-1-oxopropyl]amino]phenyl]-17-fluoro-5,16-dioxo-9,12-dioxa-6,15-diazaheptadec-1-yl]-1,3-dihydro-3,3-dimethyl-2H-indol-2-ylidene]-1-propen-1-yl]-1,3,3-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

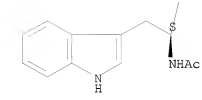
PAGE 1-A



PAGE 1-B



PAGE 2-A



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1075811 CAPLUS
DOCUMENT NUMBER: 143:367523
TITLE: Preparation of monosaccharide derivatives as anti-inflammatory agents
INVENTOR(S): Sattigeri, Viswajanani Jitendra; Arora, Sudershan K.; Salman, Mohammad; Palle, Venkata P.; Yadav, Gyan Chand; Tanwar, Madan Pal; Mukherjee, Ashis; Narayanan, Ramamurthy; Rauf, Abdul Rehaman Abdul; Naik, Keshav Prabhakar; Soni, Ajay; Ray, Abhijit; Shirumalla, Raj Kumar; Mookhtiar, Kasim Abbas
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005092907	A2	20051006	WO 2005-IB803 20050329
WO 2005092907	A3	20060427	

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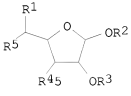
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-556936P P 20040326

OTHER SOURCE(S): MARPAT 143:367523

GI



I

AB Monosaccharide derivs. I, wherein R1 is H, alkyl, alkenyl, heterocycle, heteroaryl,alkynyl, aryl, alkoxy, acyl; R2 and R3 together form a five-membered acetal; R4 is H, OR, R is alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heteroaryl, heterocycle, heteroarylalkyl, heterocyclylakyl, OR; R5 is OC(O)-substituted-amine, alkyl, alkylamine, heteroaryl, heterocycle; R1R5 together form heterocycle, were prepared as anti-inflammatory agents. The compds. disorder herein can be useful for inhibition and prevention of inflammation and associated pathologies including inflammatory and autoimmune diseases such as bronchial asthma, rheumatoid arthritis, type I diabetes, multiple sclerosis, allograft rejection or psoriasis. Pharmacol. compns. containing compds. disclosed herein and the methods of treating bronchial asthma, chronic obstructive pulmonary disease, rheumatoid arthritis, multiple sclerosis, type I diabetes, psoriasis, allograft rejection, and other inflammatory and/or autoimmune disorders, using the compds. are also provided. Title monosaccharides, e.g. 1,2-O-isopropylidene-3-O-dodecyl-5-O-[[4-(2-methoxy-2-oxo-ethyl)phenyl]amino]-carbonyl-6-deoxy-α-D-glucofuranoside, were tested as inhibitors of 5-lipoxygenase with IC50 values are between about 9.5 μM and about 0.1 μM.

IT 866255-32-5P

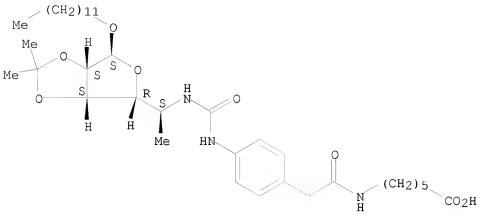
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of monosaccharide derivs. as antiinflammatory agents)

RN 866255-32-5 CAPLUS

CN β-L-Gulofuranoside, dodecyl 5-[[[[4-[2-[(5-carboxypentyl)amino]-2-oxoethyl]phenyl]amino]carbonyl]amino]-5,6-dideoxy-2,3-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	109.99	702.01

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-15.20	-22.40

FILE 'STNGUIDE' ENTERED AT 12:03:46 ON 01 AUG 2008
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jul 28, 2008 (20080728/UP).

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.66	702.67

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CA SUBSCRIBER PRICE	0.00	-22.40

FILE 'CAPLUS' ENTERED AT 12:10:22 ON 01 AUG 2008
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 1 Aug 2008 VOL 149 ISS 5
FILE LAST UPDATED: 30 Jul 2008 (20080730/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

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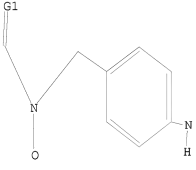
L15 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

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Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 12:10:50 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 286 TO ITERATE

100.0% PROCESSED 286 ITERATIONS 62 ANSWERS
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L17 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:99812 CAPLUS
DOCUMENT NUMBER: 144:191974
TITLE: Preparation of 5-substituted-2-(phenylamino)benzamides as MAPK or ERK kinase (MEK) inhibitors
INVENTOR(S): Isshiki, Yoshiaki; Kohchi, Yasunori; Mizuguchi, Eisaku; Iikura, Hitoshi; Matsubara, Yasuaki; Tsujii, Shinji; Shimma, Nobuo; Miwa, Masanori; Aida, Satoshi; Kohchi, Masami; Murata, Takeshi; Aso, Kosuke
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 294 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006011466	A1	20060202	WO 2005-JP13620	20050726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005265769	A1	20060202	AU 2005-265769	20050726
CA 2575232	A1	20060202	CA 2005-2575232	20050726
EP 1780197	A1	20070502	EP 2005-767184	20050726
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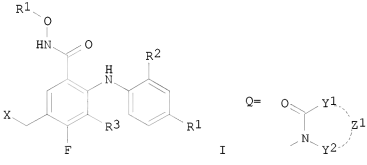
BR 2005013750	A	20080513	BR 2005-13750	20050726
JP 4090070	B2	20080528	JP 2006-529328	20050726
MX 200700736	A	20070330	MX 2007-736	20070118
CN 101124199	A	20080213	CN 2005-80025290	20070126
KR 2007041752	A	20070419	KR 2007-703522	20070214

IN 2007DN01319 A 20070803 IN 2007-DN1319 20070219
PRIORITY APPLN. INFO.: JP 2004-218004 A 20040726
JP 2005-72093 A 20050314
WO 2005-JP13620 W 20050726
OTHER SOURCE(S): MARPAT 144:191974
GI



AB The title compds. (I) or pharmaceutically acceptable salts thereof [R1 = halo, alkenyl, alkynyl; R2 = halo, alkyl, hydroxyalkyl; R3 = H, halo; R4 = H, each (un)substituted alkyl, alkenyl, or alkynyl; X = -Y-Z-W, Q; wherein Y = O, each (un)substituted NHO, ONH, NHCO, or NHSO2; Z = (un)substituted C1-8 alkylene; Z1 = (un)substituted C1-5 alkylene; Y1, Y2 = a single bond, CO, CO2, O, O2C, (un)substituted NH, SO2; W = C1-5 alkyl, halo, oxo, O Ra, CO2Ra, CO2-CORa, CO-halo, OCORa, CORaRb, SRa, SORa, SO2Ra, NRaRb, NRaCORb, NRaSO2Rb, SO2NRaRb, each (un)substituted heterocyclyl or heteroaryl; Ra, Rb = H, (un)substituted C1-5 alkyl] are prepared These compds. are inhibitors of mitogen-activated protein (MAPK) or extracellular stimulus regulated (ERK) kinase and useful for the prevention and/or treatment of (1) proliferative diseases such as cancers, in particular cancers dependent on Ras-MARK signal transduction pathway including breast cancer, lung cancer, colon/rectum cancer, prostate cancer, liver cancer, ovarian cancer, uterus cancer, or spleen cancer or (2) inflammatory joint diseases such as osteoarthritis (arthrosis deformans) and articular rheumatism. Thus, 3-aminooxy-N-methylpropionamide was stirred with 3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-5-formyl-N-(2-hydroxyethoxy)benzamide in a mixture of CH2Cl2 THF at room temperature for 15 h to give (E)-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)-5-[[[2-(methylcarbamoyl)ethoxy]imino]methyl]benzamide which was reduced by borane-pyridine complex and dichloroacetic acid in CH2Cl2 at room temperature for 13 h to give 90% 3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)-5-[(3-oxoisooxazolidin-2-yl)methyl]benzamide (II). II showed IC50 of 0.0072 μM against MEK and 0.0034 and 0.0086 μM against HT29 and QG56 cancer cells, resp.
IT 874101-13-0P, 5-[(N-Acetyl-N-methoxyamino)methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide
874101-28-7P, 3,4-Difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)-5-[(N-methoxy-N-propionylamino)methyl]benzamide
874101-30-1P, 5-[(N-Acetyl-N-ethoxyamino)methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide
874101-31-2P, 5-[(N-Ethoxy-N-propionylamino)methyl]-3,4-difluoro-2-

[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of (phenylamino)benzamides as MEK inhibitors for prevention and/or treatment of proliferative diseases such as cancer or inflammatory joint diseases)

RN 874101-13-0 CAPLUS
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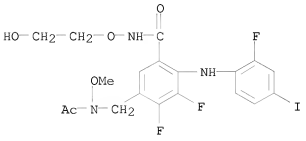

RN 874101-28-7 CAPLUS
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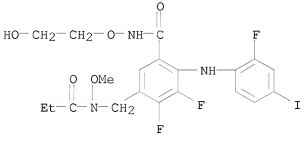

RN 874101-30-1 CAPLUS
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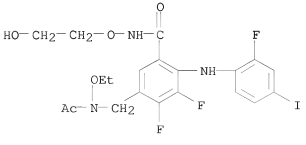

RN 874101-31-2 CAPLUS
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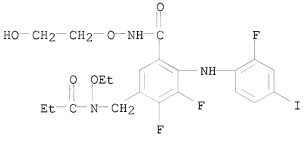

IT 874101-08-3P, 5-[[N-Acetyl-N-(2-hydroxyethoxy)amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide 874101-09-4P, 5-[[N-Acetyl-N-(2-hydroxyethoxy)amino]methyl]-2-[(4-ethynyl-2-fluorophenyl)amino]-3,4-difluoro-(2-hydroxyethoxy)benzamide 874101-10-7P, 5-[[N-Acetyl-N-(3-hydroxypropoxy)amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide 874101-11-8P, 5-[[N-Acetyl-N-(2-hydroxy-2-methylpropoxy)amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide 874101-12-9P, 5-[[N-Acetyl-N-(2-hydroxy-2-methylpropoxy)amino]methyl]-2-[(4-ethynyl-2-fluorophenyl)amino]-3,4-difluoro-(2-hydroxyethoxy)benzamide 874101-14-1P, 5-[(N-Acetyl-N-hydroxyamino)methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide 874101-15-2P, 5-[(N-Acetoxy-N-acetylamino)methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide 874101-16-3P, 5-[[N-Acetyl-N-(2-methylsulfanylethoxy)amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide 874101-17-4P, 5-[[N-Acetyl-N-(3-methylsulfanylpropoxy)amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide 874101-18-5P, 5-[[N-Acetyl-N-[2-(acetylamino)ethoxy]amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide 874101-19-6P, 5-[[N-Acetyl-N-[2-(propionylamino)ethoxy]amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide 874101-20-9P, 5-[[N-Acetyl-[2-(isobutyrylamino)ethoxy]amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide 874101-23-2P, 3,4-Difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)-5-[[N-methoxy-N-(2-methoxyacetyl)amino]methyl]benzamide 874101-24-3P, 3,4-Difluoro-2-[(2-fluoro-4-iodophenyl)amino]-5-[[N-(2-hydroxyacetyl)-N-methoxyamino]methyl]-N-(2-hydroxyethoxy)benzamide 874101-26-5P, 3,4-Difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)-5-[(N-isobutyryl-N-methoxyamino)methyl]benzamide 874101-32-3P, 2-[(4-Ethynyl-2-fluorophenyl)amino]-3,4-difluoro-N-(2-hydroxyethoxy)-5-[(N-isobutyryl-N-methoxyamino)methyl]benzamide 874101-34-5P, 2-[(4-Ethynyl-2-fluorophenyl)amino]-3,4-difluoro-N-(2-hydroxyethoxy)-5-[(N-methoxy-N-propionylamino)methyl]benzamide 874101-35-6P, 5-[(N-Acetyl-N-methoxyamino)methyl]-2-[(4-ethynyl-2-fluorophenyl)amino]-3,4-difluoro-N-(2-hydroxyethoxy)benzamide 874101-36-7P,

5-[(N-Ethoxy-N-propionylamino)methyl]-2-[(4-ethynyl-2-fluorophenyl)amino]-3,4-difluoro-N-(2-hydroxyethoxy)benzamide 874101-37-8P,
5-[(N-Acetyl-N-ethoxyamino)methyl]-2-[(4-ethynyl-2-fluorophenyl)amino]-3,4-difluoro-N-(2-hydroxyethoxy)benzamide 874101-38-9P,
3,4-Difluoro-2-[(2-fluoro-4-iodophenyl)amino]-5-[(N-formyl-N-methoxyamino)methyl]-N-(2-hydroxyethoxy)benzamide 874101-78-7P,
5-[(N-Acetyl-N-isopropoxyamino)methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylamino)benzamides as MEK inhibitors for prevention and/or treatment of proliferative diseases such as cancer or inflammatory joint diseases)

RN 874101-08-3 CAPLUS
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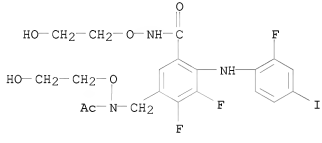

RN 874101-09-4 CAPLUS
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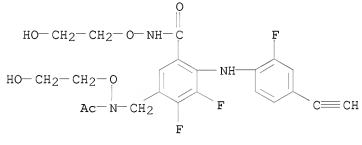

RN 874101-10-7 CAPLUS
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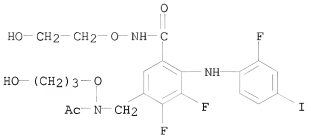

RN 874101-11-8 CAPLUS
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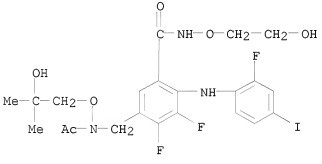

RN 874101-12-9 CAPLUS
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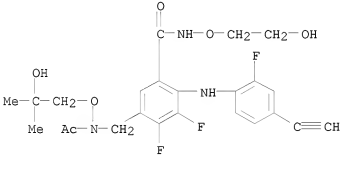
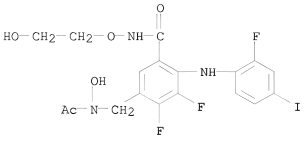
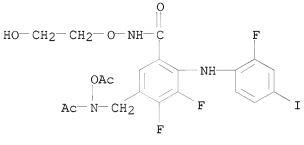


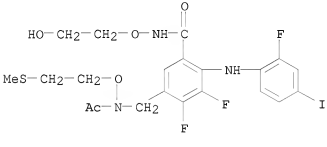
RN 874101-14-1 CAPLUS
CN Benzamide, 5-[(acetylhydroxyamino)methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



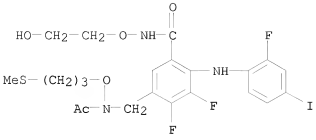
RN 874101-15-2 CAPLUS
CN Benzamide, 5-[[acetyl(acetyloxy)amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



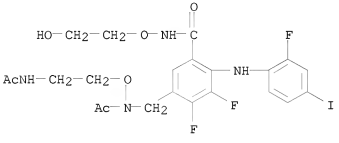
RN 874101-16-3 CAPLUS
CN Benzamide, 5-[[acetyl[2-(methylthio)ethoxy]amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



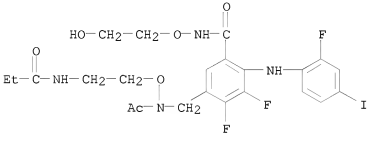
RN 874101-17-4 CAPLUS
CN Benzamide, 5-[[acetyl[3-(methylthio)propoxy]amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



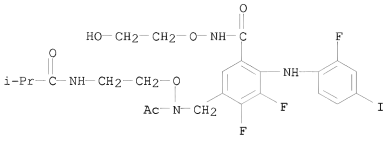
RN 874101-18-5 CAPLUS
CN Benzamide, 5-[[acetyl[2-(acetylamino)ethoxy]amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



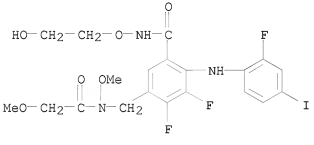
RN 874101-19-6 CAPLUS
CN Benzamide, 5-[[acetyl[2-[(1-oxopropyl)amino]ethoxy]amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



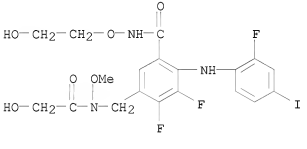
RN 874101-20-9 CAPLUS
CN Benzamide, 5-[[acetyl[2-[(2-methyl-1-oxopropyl)amino]ethoxy]amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



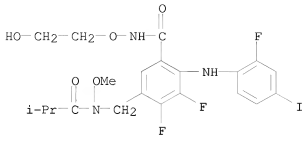
RN 874101-23-2 CAPLUS
CN Benzamide, 3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)-5-[[methoxy(2-methoxyacetyl)amino]methyl]- (CA INDEX NAME)



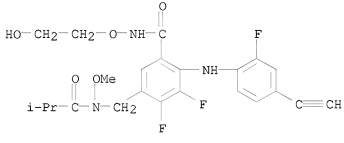
RN 874101-24-3 CAPLUS
CN Benzamide, 3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-5-[[(2-hydroxyacetyl)methoxyamino]methyl]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



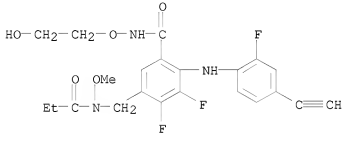
RN 874101-26-5 CAPLUS
CN Benzamide, 3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)-5-[[methoxy(2-methyl-1-oxopropyl)amino]methyl]- (CA INDEX NAME)



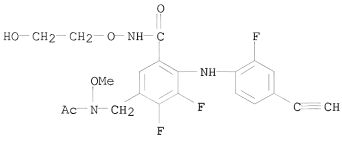
RN 874101-32-3 CAPLUS
CN Benzamide, 2-[(4-ethynyl-2-fluorophenyl)amino]-3,4-difluoro-N-(2-hydroxyethoxy)-5-[[methoxy(2-methyl-1-oxopropyl)amino]methyl]- (CA INDEX NAME)



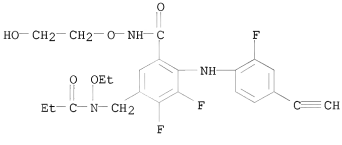
RN 874101-34-5 CAPLUS
CN Benzamide, 2-[(4-ethynyl-2-fluorophenyl)amino]-3,4-difluoro-N-(2-hydroxyethoxy)-5-[[methoxy(1-oxopropyl)amino]methyl]- (CA INDEX NAME)



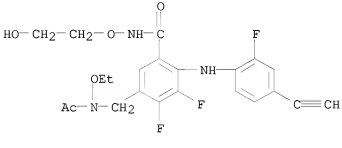
RN 874101-35-6 CAPLUS
CN Benzamide, 5-[(acetylmethoxyamino)methyl]-2-[(4-ethynyl-2-fluorophenyl)amino]-3,4-difluoro-N-(2-hydroxyethoxy)- (CA INDEX NAME)



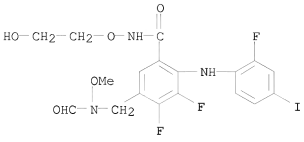
RN 874101-36-7 CAPLUS
CN Benzamide, 5-[[ethoxy(1-oxopropyl)amino]methyl]-2-[(4-ethynyl-2-fluorophenyl)amino]-3,4-difluoro-N-(2-hydroxyethoxy)- (CA INDEX NAME)



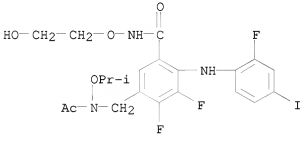
RN 874101-37-8 CAPLUS
CN Benzamide, 5-[(acetylethoxyamino)methyl]-2-[(4-ethynyl-2-fluorophenyl)amino]-3,4-difluoro-N-(2-hydroxyethoxy)- (CA INDEX NAME)



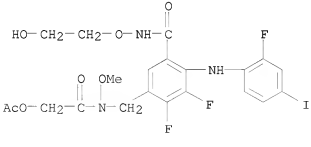
RN 874101-38-9 CAPLUS
CN Benzamide, 3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-5-[(formylmethoxyamino)methyl]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



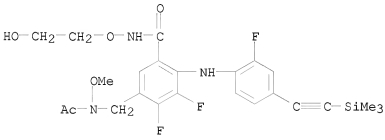
RN 874101-78-7 CAPLUS
CN Benzamide, 5-[[acetyl(1-methylethoxy)amino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



IT 874101-25-4P, Acetic acid [N-[2,3-difluoro-4-[(2-fluoro-4-iodophenyl)amino]-5-[(2-hydroxyethoxy)carbamoyl]benzyl]-N-methoxycarbamoyl]methyl ester 874101-33-4P, 5-[(N-Acetyl-N-methoxyamino)methyl]-3,4-difluoro-2-[[2-fluoro-4-[(trimethylsilanyl)ethynyl]phenyl]amino]-N-(2-hydroxyethoxy)benzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (phenylamino)benzamides as MEK inhibitors for prevention and/or treatment of proliferative diseases such as cancer or inflammatory joint diseases)
RN 874101-25-4 CAPLUS
CN Benzamide, 5-[[[2-(acetyloxy)acetyl]methoxyamino]methyl]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



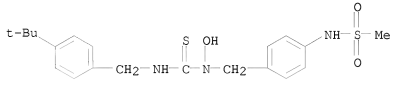
RN 874101-33-4 CAPLUS
CN Benzamide, 5-[(acetylmethoxyamino)methyl]-3,4-difluoro-2-[[2-fluoro-4-[2-(trimethylsilyl)ethynyl]phenyl]amino]-N-(2-hydroxyethoxy)- (CA INDEX NAME)



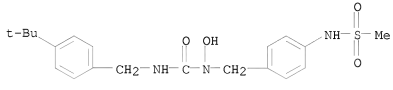
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:760368 CAPLUS
DOCUMENT NUMBER: 143:338949
TITLE: Analysis of structure-activity relationships for the 'B-region' of N-(4-t-butylbenzyl)-N'-[4-(methylsulfonylamino)benzyl]-thiourea analogues as TRPV1 antagonists
AUTHOR(S): Lee, Jeewoo; Jin, Mi-Kyoung; Kang, Sang-Uk; Kim, Su Yeon; Lee, Jiyoun; Shin, Myoungyoup; Hwang, Jaemin; Cho, Sookhyun; Choi, Yeon-Sil; Choi, Hyun-Kyung; Kim, Sung-Eun; Suh, Young-Ger; Lee, Yong-Sil; Kim, Young-Ho; Ha, Hee-Jin; Toth, Attila; Pearce, Larry V.; Tran, Richard; Szabo, Tamas; Welter, Jacqueline D.; Lundberg, Daniel J.; Wang, Yun; Lazar, Jozsef; Pavlyukovets, Vladimir A.; Morgan, Matthew A.; Blumberg, Peter M.
CORPORATE SOURCE: Research Institute of Pharmaceutical Sciences, College of Pharmacy, Seoul National University, Seoul, 151-742, S. Korea
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(18), 4143-4150
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:338949
AB The structure-activity relationships for the 'B-region' of N-(4-t-butylbenzyl)-N'-[4-(methylsulfonylamino)benzyl]thiourea analogs have been investigated as TRPV1 receptor antagonists. A docking model of potent antagonist 2 with the sensor region of TRPV1 is proposed.
IT 681810-56-0P 681810-60-6P 681810-62-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Anal. of structure-activity relationships for thiourea analogs as

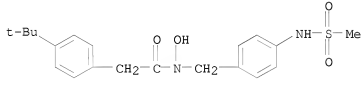
TRPV1 antagonists)
RN 681810-56-0 CAPLUS
CN Methanesulfonamide, N-[4-[[[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]th
ioxomethyl]hydroxyamino]methyl]phenyl]- (CA INDEX NAME)



RN 681810-60-6 CAPLUS
CN Methanesulfonamide, N-[4-[[[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]ca
rbonyl]hydroxyamino]methyl]phenyl]- (CA INDEX NAME)



RN 681810-62-8 CAPLUS
CN Benzeneacetamide, 4-(1,1-dimethylethyl)-N-hydroxy-N-[[4-
[(methylsulfonyl)amino]phenyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

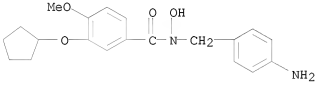
L17 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:383050 CAPLUS
DOCUMENT NUMBER: 140:385523
TITLE: SAR and molecular modeling of N-benzyl-N-hydroxy-3-(cyclopentyloxy)-4-methoxybenzene carboxamide analogues as potent phosphodiesterase-4 inhibitors
AUTHOR(S): Lee, Jeewoo; Kim, Su Yeon; Lee, Hye Ra; Kim, Je Hak
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Research Institute of Pharmaceutical Sciences, College of Pharmacy, Seoul National University, Seoul, 151-742, S. Korea
SOURCE: Letters in Drug Design & Discovery (2004), 1(1), 19-23
CODEN: LDDDAW; ISSN: 1570-1808
PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:385523

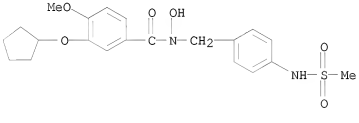
AB A series of N-benzyl-N-hydroxy-3-(cyclopentyloxy)-4-methoxybenzene carboxamide analogs have been investigated as PDE4 inhibitors. Two compds., 3-carboxylic (12b) and 3-hydroxamic acid (13b) derivs., have shown potent inhibition toward PDE4, with IC50s of 0.114 and 0.047 μM, resp. Docking of the compound 13b into the binding pocket of the PDE4 catalytic domain revealed interactions corresponding to those of the cAMP substrate.

IT 688035-47-4P 688035-50-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, phosphodiesterase-4-inhibiting activity, and mol. modeling of N-benzyl-N-hydroxy-3-(cyclopentyloxy)-4-methoxybenzene carboxamide analogs)

RN 688035-47-4 CAPLUS
CN Benzamide, N-[(4-aminophenyl)methyl]-3-(cyclopentyloxy)-N-hydroxy-4-methoxy- (CA INDEX NAME)



RN 688035-50-9 CAPLUS
CN Benzamide, 3-(cyclopentyloxy)-N-hydroxy-4-methoxy-N-[[4-[(methylsulfonyl)amino]phenyl]methyl]- (CA INDEX NAME)



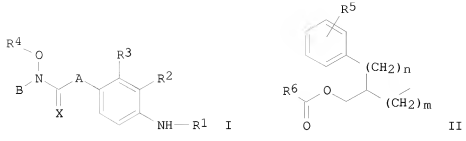
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

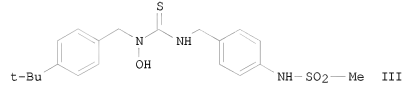
L17 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:354907 CAPLUS
DOCUMENT NUMBER: 140:357068
TITLE: Preparation of novel n-hydroxy thiourea, urea and amide derivatives as potent vanilloid receptor antagonists
INVENTOR(S): Lee, Jee-woo
PATENT ASSIGNEE(S): Digital Biotech Co., Ltd., S. Korea

SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035533	A1	20040429	WO 2003-KR2175	20031017
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR 2004034804	A	20040429	KR 2002-63414	20021017
CA 2502527	A1	20040429	CA 2003-2502527	20031017
AU 2003271223	A1	20040504	AU 2003-271223	20031017
EP 1558574	A1	20050803	EP 2003-751586	20031017
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1705642	A	20051207	CN 2003-80101483	20031017
JP 2006503090	T	20060126	JP 2004-545059	20031017
US 20050288369	A1	20051229	US 2005-531684	20050416
PRIORITY APPLN. INFO.:			KR 2002-63414 A	20021017
			WO 2003-KR2175 W	20031017

OTHER SOURCE(S): MARPAT 140:357068
GI



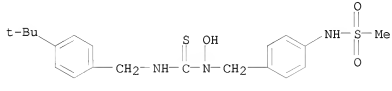


AB The title compds. I [X = O or S; A = aminomethylene or methylene; B = 4-tert-butylbenzyl, 3,4-dimethylphenylpropyl, oleyl, or II, wherein m = 0 or 1, n = 1 or 2; R1 = alkylsulfone, arylsulfone, or alkylcarbonyl; R2, R3 = H, OMe, or halo; R4, R5 = H or alkyl; R6 = alkyl or phenyl] were prepared as potent vanilloid receptor antagonists for the treatment of pain diseases. For example, reaction of 4-(methylsulfonylamino)benzyl isothiocyanate (preparation given) with N-[4-tert-butylbenzyl]hydroxylamine (preparation given) yielded compound III. The latter is a novel antagonist for vanilloid receptor with Ki = 1092 in the Ca uptake test.

IT 681810-56-0P 681810-58-2P 681810-60-6P
681810-62-8P 681810-64-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel n-hydroxy thiourea, urea and amide derivs. as potent vanilloid receptor antagonists)

RN 681810-56-0 CAPLUS

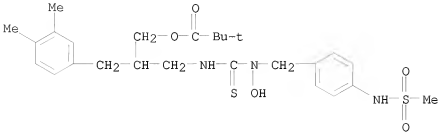
CN Methanesulfonamide, N-[4-[[[[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]thioxomethyl]hydroxyamino]methyl]phenyl]- (CA INDEX NAME)



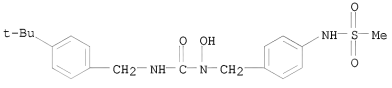
RN 681810-58-2 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 3-(3,4-dimethylphenyl)-2-[[[[hydroxy[[4-[(methylsulfonyl)amino]phenyl]methyl]amino]thioxomethyl]amino]methyl]propy

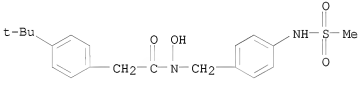
l ester (CA INDEX NAME)



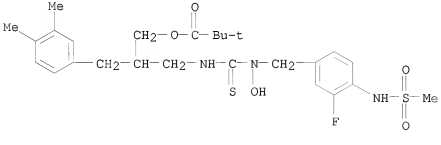
RN 681810-60-6 CAPLUS
CN Methanesulfonamide, N-[4-[[[[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]carbonyl]hydroxyamino]methyl]phenyl]- (CA INDEX NAME)



RN 681810-62-8 CAPLUS
CN Benzeneacetamide, 4-(1,1-dimethylethyl)-N-hydroxy-N-[[4-[(methylsulfonyl)amino]phenyl]methyl]- (CA INDEX NAME)

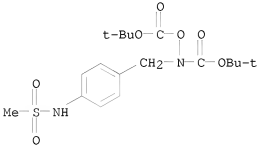


RN 681810-64-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-(3,4-dimethylphenyl)-2-[[[[[[3-fluoro-4-[(methylsulfonyl)amino]phenyl]methyl]hydroxyamino]thioxomethyl]amino]methyl]propyl ester (CA INDEX NAME)

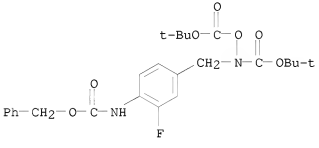


IT 681810-36-6P 681810-44-6P 681810-46-8P
681810-48-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel n-hydroxy thiourea, urea and amide derivs. as potent vanilloid receptor antagonists)

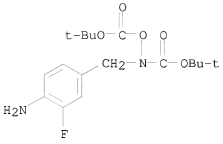
RN 681810-36-6 CAPLUS
CN Carbamic acid, [[(1,1-dimethylethoxy)carbonyl]oxy][[4-[(methylsulfonyl)amino]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



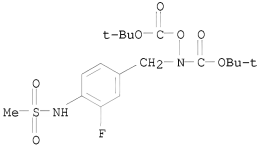
RN 681810-44-6 CAPLUS
CN Carbamic acid, [[(1,1-dimethylethoxy)carbonyl]oxy][[3-fluoro-4-[[(phenylmethoxy)carbonyl]amino]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 681810-46-8 CAPLUS
CN Carbamic acid, [(4-amino-3-fluorophenyl)methyl][[(1,1-dimethylethoxy)carbonyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



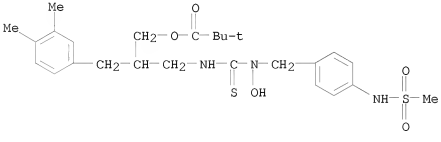
RN 681810-48-0 CAPLUS
CN Carbamic acid, [[(1,1-dimethylethoxy)carbonyl]oxy][[3-fluoro-4-[(methylsulfonyl)amino]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



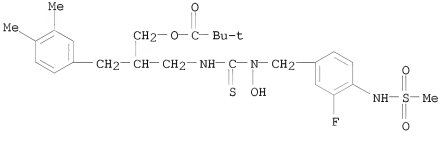
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:303309 CAPLUS
DOCUMENT NUMBER: 141:46753
TITLE: Analysis of structure-activity relationships for the B-region' of N-(3-acyloxy-2-benzylpropyl)-N'-[4-(methylsulfonylamino)benzyl]thiourea analogues as vanilloid receptor antagonists: discovery of an N-hydroxythiourea analogue with potent analgesic activity
AUTHOR(S): Lee, Jeewoo; Kang, Sang-Uk; Choi, Hyun-Kyung; Lee, Jiyoun; Lim, Ju-Ok; Kil, Min-Jung; Jin, Mi-Kyung; Kim, Kang-Pil; Sung, Jong-Hyuk; Chung, Suk-Jae; Ha, Hee-Jin; Kim, Young-Ho; Pearce, Larry V.; Tran, Richard; Lundberg, Daniel J.; Wang, Yun; Toth, Attila; Blumberg, Peter M.
CORPORATE SOURCE: College of Pharmacy, Research Institute of Pharmaceutical Sciences, Seoul National University, Seoul, 151-742, S. Korea
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2291-2297
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:46753
AB The structural modifications on the B-region of the potent and high affinity vanilloid receptor (VR1) lead ligand N-(3-acyloxy-2-benzylpropyl)-N'-[4-(methylsulfonylamino)benzyl]thiourea were investigated by the replacement of the thiourea with diverse isosteric functional groups. Structure-activity anal. indicated that the A-region in this series was the primary factor in determining the agonistic/antagonistic activities regardless of the B-region. The NC-hydroxy thiourea analogs (12, 13) showed excellent analgesic activities in the acetic acid writhing assay compared to the parent thiourea analogs.
IT 681810-58-2P 681810-64-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(structure-activity relationships of the B-region' of N-(3-acyloxy-2-benzylpropyl)-N'-[4-(methylsulfonylamino)benzyl]thiourea analogs as analgesic vanilloid receptor antagonists)
RN 681810-58-2 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-(3,4-dimethylphenyl)-2-[[[[hydroxy[[4-[(methylsulfonyl)amino]phenyl]methyl]amino]thioxomethyl]amino]methyl]propyl ester (CA INDEX NAME)



RN 681810-64-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-(3,4-dimethylphenyl)-2-[[[[[[3-fluoro-4-[(methylsulfonyl)amino]phenyl]methyl]hydroxyamino]thioxomethyl]amino]methyl]propyl ester (CA INDEX NAME)



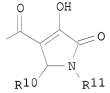
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:41225 CAPLUS

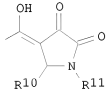
DOCUMENT NUMBER: 140:111271
TITLE: Preparation of pyrrolecarboxamides as HIV integrase inhibitors
INVENTOR(S): Walker, Michael A.; Ma, Zhuping; Naidu, B. Narasimhulu; Sorenson, Margaret E.; Pendri, Annapurna; Banville, Jacques; Plamondon, Serge; Remillard, Roger
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004657	A2	20040115	WO 2003-US21371	20030709
WO 2004004657	A3	20041104		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003248872	A1	20040123	AU 2003-248872	20030709
US 20040110804	A1	20040610	US 2003-616031	20030709
US 7109186	B2	20060919		
PRIORITY APPLN. INFO.:			US 2002-394548P	P 20020709
			US 2002-399248P	P 20020729
			WO 2003-US21371	W 20030709

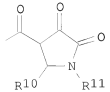
OTHER SOURCE(S): MARPAT 140:111271
GI



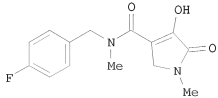
II



III



IV



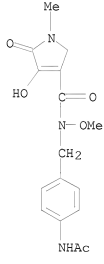
V

AB The title compds. R1CHR2NR3B1 [I; R1 = (un)substituted Ph, naphthyl, furyl, etc.; R2 = H, alkyl, (un)substituted aryl, alkylaryl; R3 = H, alkyl, alkylaryl, (un)substituted OH; B1 = II-IV (wherein R10 = H, alkyl, cycloalkyl, etc.; R11 = alkyl, cycloalkyl, aryl, etc.)] which inhibit HIV integrase, and are useful for treatment of AIDS or ARC, were prepared E.g., a multi-step synthesis of V which showed 99.9% inhibition of HIV integrase at 20 μM, was given. Pharmaceutical composition comprising the compds. I is claimed.

IT 646042-66-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolecarboxamides as HIV integrase inhibitors)

RN 646042-66-2 CAPLUS

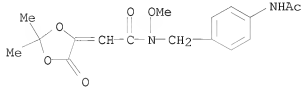
CN 1H-Pyrrole-3-carboxamide, N-[[4-(acetylamino)phenyl]methyl]-2,5-dihydro-4-hydroxy-N-methoxy-1-methyl-5-oxo- (CA INDEX NAME)



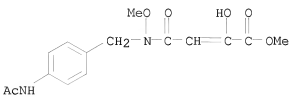
IT 543731-42-6P 646051-43-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolecarboxamides as HIV integrase inhibitors)

RN 543731-42-6 CAPLUS

CN Acetamide, N-[[4-(acetylamino)phenyl]methyl]-2-(2,2-dimethyl-5-oxo-1,3-dioxolan-4-ylidene)-N-methoxy- (CA INDEX NAME)



RN 646051-43-6 CAPLUS
CN 2-Butenoic acid, 4-[[[4-(acetylamino)phenyl]methyl]methoxyamino]-2-hydroxy-4-oxo-, methyl ester (CA INDEX NAME)



L17 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:472347 CAPLUS
DOCUMENT NUMBER: 139:32514
TITLE: HIV integrase inhibitors and their use in treatment of HIV infection
INVENTOR(S): Walker, Michael A.; Banville, Jacques; Remillard, Roger; Plamondon, Serge
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049690	A2	20030619	WO 2002-US39092	20021206
WO 2003049690	A3	20040122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469592	C	20030619	CA 2002-2469592	20021206
CA 2469592	A1	20030619		
AU 2002366604	A1	20030623	AU 2002-366604	20021206
US 20030176495	A1	20030918	US 2002-313058	20021206
US 6777440	B2	20040817		
EP 1467695	A2	20041020	EP 2002-804741	20021206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014842	A	20050111	BR 2002-14842	20021206
HU 2004002675	A2	20050329	HU 2004-2675	20021206
CN 1617849	A	20050518	CN 2002-827970	20021206
JP 2005515206	T	20050526	JP 2003-550741	20021206
TW 252757	B	20060411	TW 2002-91135471	20021206

RU 2284315	C2	20060927	RU 2004-119963		20021206
NZ 533413	A	20060929	NZ 2002-533413		20021206
IN 2004DN01518	A	20050401	IN 2004-DN1518		20040602
MX 2004PA05623	A	20041206	MX 2004-PA5623		20040610
ZA 2004004628	A	20050901	ZA 2004-4628		20040610
NO 2004002916	A	20040910	NO 2004-2916		20040709
PRIORITY APPLN. INFO.:			US 2001-339674P	P	20011212
			WO 2002-US39092	W	20021206

OTHER SOURCE(S): MARPAT 139:32514

AB The present invention relates to the inhibition of HIV integrase, and to the treatment of AIDS or ARC by administering compound R1CH2N(B1)OR2 (R1 = (substituted)aryl, C1-6-alkylaryl, C1-6-alkyl-O-aryl, C1-6-alkyl-SOn-aryl and n = 0,1,2; R2= H, alkyl, cycloalkyl, haloalkyl, aryl, heteroaryl, etc.; B1 = C(:O)C:C(OH)C(:O)OR11 or the 1,3-dioxolan based on this structure, C(:O)CH2C(:O)C(:O)OR11, C(OH):CHC(:O)C(:O)OR11; R11 = H, aryl, heteroaryl, alkylaryl, alkylheteroaryl, etc.), or a tautomer, pharmaceutically acceptable salt, solvate, or prodrug thereof. Thus, 3-[(4-fluorobenzyl)methoxycarbamoyl]-2-hydroxyacrylic acid was synthesized and tested for bioactivity. This compound exhibited 96% inhibition of recombinant HIV virus expressing luciferase in cell culture at 1.6 μM.

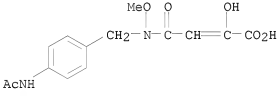
IT 543731-43-7P

RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(HIV integrase inhibitors and their use in treatment of HIV infection)

RN 543731-43-7 CAPLUS

CN 2-Butenoic acid, 4-[[[4-(acetylamino)phenyl]methyl]methoxyamino]-2-hydroxy-4-oxo- (CA INDEX NAME)



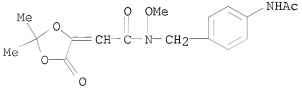
IT 543731-42-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(HIV integrase inhibitors and their use in treatment of HIV infection)

RN 543731-42-6 CAPLUS

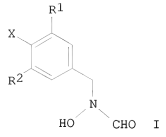
CN Acetamide, N-[[4-(acetylamino)phenyl]methyl]-2-(2,2-dimethyl-5-oxo-1,3-dioxolan-4-ylidene)-N-methoxy- (CA INDEX NAME)

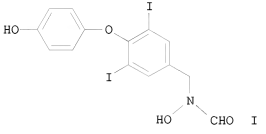


L17 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:22840 CAPLUS
DOCUMENT NUMBER: 138:89584
TITLE: Preparation of N-hydroxybenzylformamides as peptide deformylase inhibitors and antibacterial agents
INVENTOR(S): Bhat, Ajita; Christensen, Siegfried B., IV; Frazee, James S.; Head, Martha S.; Leber, Jack Dale; Li, Mei
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002522	A1	20030109	WO 2002-US10648	20020404
WO 2003002522	A8	20030130		
WO 2003002522	A3	20030912		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002335615	A1	20030303	AU 2002-335615	20020404
EP 1383736	A2	20040128	EP 2002-770378	20020404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004531580	T	20041014	JP 2003-508705	20020404
US 20040106795	A1	20040603	US 2003-473060	20030929
US 6967220	B2	20051122		
PRIORITY APPLN. INFO.:			US 2001-281611P P	20010405
			WO 2002-US10648 W	20020404

OTHER SOURCE(S): MARPAT 138:89584
GI



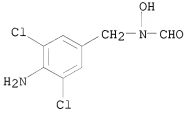


AB N-hydroxybenzylformamides [I; wherein X = alkanoyl, alkoxy, amino, amido, etc.; R1 = H, I, Br, Cl, i-Pr, t-Bu, etc.; R2 = I, Br, Cl, i-Pr, t-Bu, etc.] were prepared For example, N-hydroxy-N-[4-(4-hydroxyphenoxy)-3,5-diiodobenzyl]formamide (II) was prepared in three steps. The prepared compds. are useful as peptide deformylase inhibitors and antibacterial agents (no data).

IT 483316-10-5P, N-Hydroxy-N-(4-amino-3,5-dichlorobenzyl)formamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-hydroxybenzylformamides as peptide deformylase inhibitors and antibacterial agents)

RN 483316-10-5 CAPLUS

CN Formamide, N-[(4-amino-3,5-dichlorophenyl)methyl]-N-hydroxy- (CA INDEX NAME)



L17 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:868446 CAPLUS
DOCUMENT NUMBER: 136:5973

TITLE: Preparation of bicyclyl- or heterobicyclylmethanesulfonylamino-substituted N-hydroxyformamides useful in the treatment and prophylaxis of conditions mediated by s-CD23

INVENTOR(S): Best, Desmond John; Bruton, Gordon; Orlek, Barry Sidney; Rana, Kishore; Walker, Graham

PATENT ASSIGNEE(S): SmithKline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090100	A1	20011129	WO 2001-EP5798	20010521
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2410294	A1	20011129	CA 2001-2410294	20010521
EP 1289980	A1	20030312	EP 2001-945174	20010521
EP 1289980	B1	20041117		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011074	A	20030624	BR 2001-11074	20010521
HU 2003002121	A2	20031028	HU 2003-2121	20010521
JP 2004501108	T	20040115	JP 2001-586287	20010521
NZ 522594	A	20040528	NZ 2001-522594	20010521
AT 282603	T	20041215	AT 2001-945174	20010521
ES 2231513	T3	20050516	ES 2001-945174	20010521
NO 2002005549	A	20030124	NO 2002-5549	20021119
IN 2002MN01665	A	20041211	IN 2002-MN1665	20021121
MX 2002PA11553	A	20030425	MX 2002-PA11553	20021122
ZA 2002009514	A	20031015	ZA 2002-9514	20021122
US 20040024066	A1	20040205	US 2003-296363	20030609
US 20050288376	A1	20051229	US 2005-204467	20050816

PRIORITY APPLN. INFO.:

GB 2000-12809	A	20000525
GB 2001-4970	A	20010228
WO 2001-EP5798	W	20010521
US 2003-296363	B1	20030609

OTHER SOURCE(S): MARPAT 136:5973

AB R1CH2SO2CH2CHRN(OH)CHO [R = hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl; R1 = bicyclyl, heterobicyclyl], useful in the treatment and prophylaxis of conditions mediated by s-CD23, were prepared E.g., 4-acetamidoacetophenone and copper bromide were heated to reflux in Et acetate 2.5h to give (S)-N-[1-(4-acetamidophenyl)-2-(benzo[b]thiophen-5-yl-methanesulfonyl)ethyl]-N-hydroxyformamide. The last was converted to (S)-N-[1-(4-acetamidophenyl)-2-(benzo[b]thiophen-5-ylmethanesulfonyl)ethyl]-N-hydroxyformamide. The compds. prepared and

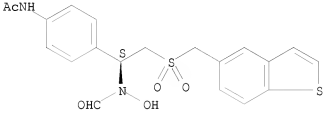
tested showed IC50 values of ≤ 1μM.

IT 376387-32-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclyl- or heterobicyclylmethanesulfonylamino-substituted N-hydroxyformamides useful in the treatment and prophylaxis of conditions mediated by s-CD23)

RN 376387-32-5 CAPLUS

CN Acetamide, N-[4-[(1S)-2-[(benzo[b]thien-5-ylmethyl)sulfonyl]-1-(formylhydroxyamino)ethyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

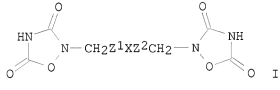
ACCESSION NUMBER: 1995:570785 CAPLUS
DOCUMENT NUMBER: 122:314554
ORIGINAL REFERENCE NO.: 122:57208h,57209a
TITLE: Preparation of bisoxadiazolidine derivatives as hypoglycemics
INVENTOR(S): Niigata, Kunihiro; Takahashi, Takumi; Maruyama, Tatsuya; Suzuki, Takayuki; Maeno, Kyoichi; Onda, Kenichi; Kontani, Toru; Noshiro, Osamu; Koike, Reiko; et al.
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9425448	A1	19941110	WO 1994-JP696	19940426
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2160989	A1	19941110	CA 1994-2160989	19940426
AU 9465823	A	19941121	AU 1994-65823	19940426
AU 680496	B2	19970731		

EP 696585	A1	19960214	EP 1994-913821		19940426
EP 696585	B1	19981216			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
CN 1122133	A	19960508	CN 1994-191963		19940426
CN 1045005	C	19990908			
HU 73431	A2	19960729	HU 1995-3090		19940426
JP 2820535	B2	19981105	JP 1994-524101		19940426
AT 174593	T	19990115	AT 1994-913821		19940426
ES 2129123	T3	19990601	ES 1994-913821		19940426
RU 2135487	C1	19990827	RU 1995-122077		19940426
TW 401418	B	20000811	TW 1994-83103862		19940428
US 5643931	A	19970701	US 1995-537907		19951026
PRIORITY APPLN. INFO.:			JP 1993-127898	A	19930430
			JP 1993-350209	A	19931229
			WO 1994-JP696	W	19940426

OTHER SOURCE(S): MARPAT 122:314554

GI



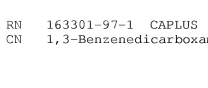
I

AB Title compds. I [Z, Z1 = (un)substituted phenylene; X = O, NR1, S(O)n, CO, CONR2, R2NCO, alkylene, alkenylene; R1, R2 = H, alkyl; n = 0. 1, 2] and their pharmaceutically acceptable salts, useful as hypoglycemics, were prepared Thus, reaction of bis[(4-chloromethyl)phenyl] ether with benzyloxyurea gave bis{[4-(N-carbamoyl-N-benzyloxyamino)methyl]phenyl} ether, hydrogenolysis of which followed by cyclocondensation with Et chloroformate gave bis{4-[(3,5-dioxo-1,2,4-oxadiazolidin-2-yl)methyl]phenyl} ether. 1,3-Bis{4-[(3,5-dioxo-1,2,4-oxadiazolidin-2-yl)methyl]phenoxy}benzene at 30 mg/day orally effected a 53% decrease in blood sugar in mice.

IT 163301-96-0P 163301-97-1P 163301-98-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bisoxadiazolidine derivs. as hypoglycemics)

RN 163301-96-0 CAPLUS

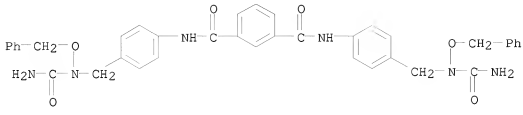
CN Urea, N-[(4-aminophenyl)methyl]-N-(phenylmethoxy)- (CA INDEX NAME)



RN 163301-97-1 CAPLUS

CN 1,3-Benzenedicarboxamide, N1,N3-bis[4-[[(aminocarbonyl)(phenylmethoxy)amin

o]methyl]phenyl]- (CA INDEX NAME)



RN 163301-98-2 CAPLUS
CN 1,3-Benzenedicarboxamide, N1,N3-bis[4-[[(aminocarbonyl)hydroxyamino]methyl]phenyl]- (CA INDEX NAME)